09/838,286

=> Uploading 09838286.str

L5 STRUCTURE UPLOADED

=> d

L5 HAS NO ANSWERS

L5 STR

Ak

G1 H, [@1]

Structure attributes must be viewed using STN Express query preparation.

#### => s 15

SUBSTANCE QUERIES NOT VALID IN THIS FILE SUBSTANCE QUERIES NOT VALID IN THIS FILE

The logic expression entered contains L#s or saved query names which correspond to structures built by the STRUCTURE command or to screen sets. These must be searched in a substance file such as the REGISTRY file. In some files you may use a Registry Number answer set from a structure search as a search term or profile in some bibliographic file containing Registry Numbers, e.g. the CA file. For an explanation, enter "HELP CROSSOVER" at an arrow prompt (=>).

=> file reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 30.78 179.94

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 12:32:03 ON 02 APR 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS) 09/838,286

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 1 APR 2003 HIGHEST RN 501325-53-7 DICTIONARY FILE UPDATES: 1 APR 2003 HIGHEST RN 501325-53-7

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> s 15

SAMPLE SEARCH INITIATED 12:32:07 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 320 TO ITERATE

100.0% PROCESSED 320 ITERATIONS SEARCH TIME: 00.00.01

19 ANSWERS

DEFINE TIME: 00:00:01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*
PROJECTED ITERATIONS: 5327 TO 7473

PROJECTED ANSWERS:

119 TO 641

19 SEA SSS SAM L5

=> d scanb

L6

'SCANB' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'

The following are valid formats:

Substance information can be displayed by requesting individual fields or predefined formats. The predefined substance formats are: (RN = CAS Registry Number)

REG - RN

SAM - Index Name, MF, and structure - no RN FIDE - All substance data, except sequence data

IDE - FIDE, but only 50 names
SQIDE - IDE, plus sequence data

SQIDE3 - Same as SQIDE, but 3-letter amino acid codes are used

SQD - Protein sequence data, includes RN

SQD3 - Same as SQD, but 3-letter amino acid codes are used

SQN - Protein sequence name information, includes RN

CALC - Table of calculated properties EPROP - Table of experimental properties

PROP - EPROP and CALC

Any CA File format may be combined with any substance format to obtain CA references citing the substance. The substance formats must be cited first. The CA File predefined formats are:

ABS -- Abstract

```
APPS -- Application and Priority Information
BIB -- CA Accession Number, plus Bibliographic Data
CAN -- CA Accession Number
CBIB -- CA Accession Number, plus Bibliographic Data (compressed)
IND -- Index Data
    -- International Patent Classification
PATS -- PI, SO
STD -- BIB, IPC, and NCL
IABS --ABS, indented, with text labels
IBIB -- BIB, indented, with text labels
ISTD -- STD format, indented
OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ----- OBIB, indented with text labels
SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations
The ALL format gives FIDE BIB ABS IND RE, plus sequence data when
it is available.
The MAX format is the same as ALL.
The IALL format is the same as ALL with BIB ABS and IND indented,
with text labels.
For additional information, please consult the following help
messages:
HELP DFIELDS -- To see a complete list of individual display fields.
HELP FORMATS -- To see detailed descriptions of the predefined formats.
ENTER DISPLAY FORMAT (IDE):scan
'SCAN' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'
The following are valid formats:
Substance information can be displayed by requesting individual
fields or predefined formats. The predefined substance formats
are:
     (RN = CAS Registry Number)
REG
SAM
       - Index Name, MF, and structure - no RN
       - All substance data, except sequence data
FIDE
IDE
       - FIDE, but only 50 names
SQIDE - IDE, plus sequence data
SQIDE3 - Same as SQIDE, but 3-letter amino acid codes are used
SQD
       - Protein sequence data, includes RN
SQD3
     - Same as SQD, but 3-letter amino acid codes are used
SQN
      - Protein sequence name information, includes RN
CALC
       - Table of calculated properties
EPROP - Table of experimental properties
PROP - EPROP and CALC
Any CA File format may be combined with any substance format to
obtain CA references citing the substance. The substance formats
must be cited first. The CA File predefined formats are:
ABS -- Abstract
APPS -- Application and Priority Information
```

BIB -- CA Accession Number, plus Bibliographic Data

CAN -- CA Accession Number CBIB -- CA Accession Number, plus Bibliographic Data (compressed) IND -- Index Data IPC -- International Patent Classification PATS -- PI, SO STD -- BIB, IPC, and NCL IABS --ABS, indented, with text labels IBIB -- BIB, indented, with text labels ISTD -- STD format, indented OBIB ----- AN, plus Bibliographic Data (original) OIBIB ----- OBIB, indented with text labels SBIB ----- BIB, no citations SIBIB ----- IBIB, no citations The ALL format gives FIDE BIB ABS IND RE, plus sequence data when it is available. The MAX format is the same as ALL. The IALL format is the same as ALL with BIB ABS and IND indented, with text labels. For additional information, please consult the following help messages: HELP DFIELDS -- To see a complete list of individual display fields. HELP FORMATS -- To see detailed descriptions of the predefined formats. ENTER DISPLAY FORMAT (IDE):. L6 ANSWER 1 OF 19 REGISTRY COPYRIGHT 2003 ACS RN445431-46-9 REGISTRY CN Urea, N-(2,3-dihydro-1-oxo-2-propyl-1H-inden-4-yl)-N'-2-pyridinyl- (9CI)(CA INDEX NAME) 3D CONCORD FS C18 H19 N3 O2 MF SR CA LCSTN Files: CA, CAPLUS, TOXCENTER

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1962 TO DATE)

1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

=> d scan

L6 19 ANSWERS REGISTRY COPYRIGHT 2003 ACS

MF C20 H23 N3 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=>

Uploading 09838286.str

L7 STRUCTURE UPLOADED

=> d

L7 HAS NO ANSWERS

L7 STR

G1 H

Structure attributes must be viewed using STN Express query preparation.

=> s 17

SAMPLE SEARCH INITIATED 12:34:43 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 320 TO ITERATE

100.0% PROCESSED 320 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

5327 TO 7473

PROJECTED ANSWERS:

0 TO 0

L8 0 SEA SSS SAM L7

=> s 17 ful

FULL SEARCH INITIATED 12:34:58 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 6322 TO ITERATE

100.0% PROCESSED 6322 ITERATIONS

39 ANSWERS

SEARCH TIME: 00.00.01

L9 39 SEA SSS FUL L7

=> file caplus,uspatful

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST

151.43 331.37

FILE 'CAPLUS' ENTERED AT 12:35:15 ON 02 APR 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPATFULL' ENTERED AT 12:35:15 ON 02 APR 2003 CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

=> s 19

L10 29 L9

=> dup rem 110

PROCESSING COMPLETED FOR L10

L11 26 DUP REM L10 (3 DUPLICATES REMOVED)

=> d 1-26 bib, abs, hitstr

L11 ANSWER 1 OF 26 CAPLUS COPYRIGHT 2003 ACS DUPLICATE 1

AN 2002:850357 CAPLUS

DN 137:352907

TI Preparation of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf kinase for the treatment of tumors and/or cancerous cell growth

IN Dumas, Jacques; Riedl, Bernd; Khire, Uday; Wood, Jill E.; Robert, Sibley
N.; Monahan, Mary-Katherine; Renick, Joel; Gunn, David E.; Lowinger,
Timothy B.; Scott, William J.; Smith, Roger A.

PA Bayer Corporation, USA

SO U.S. Pat. Appl. Publ., 63 pp., Cont.-in-part of U.S. Ser. No. 758,548. CODEN: USXXCO

DT Patent

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LA English FAN.CNT 3
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FAN.	KI	KIND DATE				APPLICATION NO.					DATE								
PI	US 2002 WO 2002	JS 2002137774 VO 2002062763			A1 2002110° A1 20020926 A2 20020815 A3 20021010				US 2001-907970						20010207 20010719 20020207				
	W: RW:	AE, CO, GM, LS, PT, US, GH, CY,	AG, CR, HR, LT, RO, UZ, GM, DE,	AL, CU, HU, LU, RU, VN, KE, DK,	AM, CZ, ID, LV, SD, YU, LS, ES,	AT, DE, IL, MA, SE, ZA, MW, FI,	AU, DK, IN, MD, SG, ZW, MZ, FR,	AZ, DM, IS, MG, SI, AM, SD, GB,	DZ, JP, MK, SK, AZ, SL, GR,	EC, KE, MN, SL, BY, SZ, IE,	EE, KG, MW, TJ, KG, TZ, IT,	ES, KP, MX, TM, KZ, UG, LU,	FI, KR, MZ, TR, MD, ZM, MC,	BZ, GB, KZ, NO, TT, RU, ZW, NL, NE,	GD, LC, NZ, TZ, TJ, AT, PT,	GE, LK, PH, UA, TM BE, SE,	GH, LR, PL, UG, CH, TR,		
PRAI OS GI	I US 1999-115877P US 1999-257266 US 1999-425228 US 2001-758548		P B: B: A: A	2 2 2	1999 1999 1999 2001 2001	0113 0225 1022 0112	,	,	-2,	<b>,</b>	,	,	,	<i>5.</i> .,	12,				

AB Title compds. B-NHCONH-L-(M-L1)q (I) [B = (un) substituted pyridyl, quinolinyl, isoquinolinyl; L = 5 or 6 membered cyclic structure; L1 = substituted cyclic moiety having at least 5 members; M = bridging group having at least one atom; q = 1-3; with proviso that L and L1 contain 0-4 hetero atoms, e.g., N, O and S] and their pharmaceutically acceptable salts were prepd. For example, coupling of aniline II, e.g., prepd. from Et 3-hydroxybenzoate in 4-steps, with bis(trichloromethyl)carbonate followed by 3-tert-butylaniline afforded urea III. In in vitro raf kinase assays, 112-specific examples of compds. I inhibited kinase activity with IC50 values ranging from 10 nM-10 .mu.M. Compds. I are useful for the treatment of cancerous cell growth mediated by raf kinase.

432050-20-9P, N-(4-tert-Butylpyridyl)-N'-(4-(4-chlorophenoxy)phenyl) Urea
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf kinase)

RN 432050-20-9 CAPLUS

CN Urea, N-[4-(4-chlorophenoxy)phenyl]-N'-[4-(1,1-dimethylethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

```
L11 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS DUPLICATE 2
```

AN 2002:409267 CAPLUS

DN 137:6098

TI Heteroaryl ureas containing nitrogen hetero-atoms as p38 kinase inhibitors

IN Dumas, Jacques; Riedl, Bernd; Khire, Uday; Sibley, Robert N.;
Hatoum-Mokdad, Holia; Monahan, Mary-katherine; Gunn, David E.; Lowinger,
Timotthy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.

PA Bayer Corporation, USA

SO U.S. Pat. Appl. Publ., 39 pp., Cont.-in-part of U.S. Ser. No. 778,039. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

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PATENT NO.
                     KIND
                           DATE
                                          APPLICATION NO. DATE
                      ____
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PI
     US 2002065296
                            20020530
                      A1
                                           US 2001-838286
                                                            20010420
     WO 2002085859
                      A1
                            20021031
                                          WO 2002-US12064 20020417
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
             US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI US 1999-115878P
                            19990113
                      Ρ
    US 1999-257265
                      В1
                            19990225
     US 1999-425229
                      A2
                            19991022
     US 2001-778039
                      A2
                            20010207
                            20010420
    US 2001-838286
                      Α
OS
    MARPAT 137:6098
```

This invention relates to the use of a group of heteroaryl ureas (I; for example, N-(2-methoxy-3-quinolyl)-N'-[4-[3-(N-methylcarbamoyl)phenoxy]phenyl]urea) contg. N in treating p38 mediated diseases, and pharmaceutical compns. for use in such therapy. I is A-NHC(0)NH-B or a pharmaceutically acceptable salt thereof, wherein A is a substituted or unsubstituted pyridyl, quinolinyl or isoquinolinyl group, B is a substituted or unsubstituted, up to tricyclic aryl or heteroaryl moiety of up to 50 C atoms with a cyclic structure bound directly to N, contg. at least 5 cyclic members with 0-4 members of groups consisting of N, O and S. Information about the substituents for A and B are given in the claims. Although the methods of prepn. are not claimed, 37 example prepns. are included as well as examples of prepn. of intermediates. No pharmacol. data is included.

IT432050-17-4P 432050-18-5P 432050-20-9P 432050-30-1P, N-(4-tert-Butyl-2-pyridinyl)-N'-(4-methylphenyl)urea 432050-31-2P, N-(4-tert-Butyl-2-pyridinyl)-N'-(4-fluorophenyl)urea 432050-32-3P, N-(4-tert-Butyl-2-pyridinyl)-N'-(1-naphthyl)urea 432050-33-4P, N-(4-tert-Butyl-2-pyridinyl)-N'-[4-(4methoxyphenoxy)phenyl]urea 432050-41-4P, N-(4-tert-Butyl-2pyridyl)-N'-(4-(4-methylphenoxy)phenyl)urea 432050-42-5P, N-(4-tert-Butyl-2-pyridyl)-N'-(4-(4-pyridyloxy)phenyl)urea **432050-43-6P**, N-(4-tert-Butyl-2-pyridyl)-N'-(4-(4pyridinylthio)phenyl)urea 432050-44-7P, N-(4-tert-Butyl-2pyridyl)-N'-(3-(4-pyridinylthio)phenyl)urea RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (prepn. of heteroaryl ureas contg. nitrogen hetero-atoms as p38 kinase inhibitors) 432050-17-4 CAPLUS RN Urea, N-(2,3-dichlorophenyl)-N'-[4-(1,1-dimethylethyl)-2-pyridinyl]- (9CI) CN (CA INDEX NAME)

RN 432050-18-5 CAPLUS
CN Urea, N-[4-(1,1-dimethylethyl)-2-pyridinyl]-N'-[4-(4-pyridinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & \\ \parallel & \\ NH-C-NH- \\ N & \\ \end{array}$$

RN 432050-20-9 CAPLUS
CN Urea, N-[4-(4-chlorophenoxy)phenyl]-N'-[4-(1,1-dimethylethyl)-2-pyridinyl](9CI) (CA INDEX NAME)

RN 432050-30-1 CAPLUS
CN Urea, N-[4-(1,1-dimethylethyl)-2-pyridinyl]-N'-(4-methylphenyl)- (9CI)
(CA INDEX NAME)

09/838,286

RN 432050-31-2 CAPLUS

CN Urea, N-[4-(1,1-dimethylethyl)-2-pyridinyl]-N'-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 432050-32-3 CAPLUS

CN Urea, N-[4-(1,1-dimethylethyl)-2-pyridinyl]-N'-1-naphthalenyl- (9CI) (CA INDEX NAME)

RN 432050-33-4 CAPLUS

CN Urea, N-[4-(1,1-dimethylethyl)-2-pyridinyl]-N'-[4-(4-methoxyphenoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 432050-41-4 CAPLUS

CN Urea, N-[4-(1,1-dimethylethyl)-2-pyridinyl]-N'-[4-(4-methylphenoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 432050-42-5 CAPLUS

CN Urea, N-[4-(1,1-dimethylethyl)-2-pyridinyl]-N'-[4-(4-pyridinyloxy)phenyl]-(9CI) (CA INDEX NAME)

RN 432050-43-6 CAPLUS

CN Urea, N-[4-(1,1-dimethylethyl)-2-pyridinyl]-N'-[4-(4-pyridinylthio)phenyl]- (9CI) (CA INDEX NAME)

RN 432050-44-7 CAPLUS

CN Urea, N-[4-(1,1-dimethylethyl)-2-pyridinyl]-N'-[3-(4-pyridinylthio)phenyl](9CI) (CA INDEX NAME)

L11 ANSWER 3 OF 26 CAPLUS COPYRIGHT 2003 ACS

AN 2002:832761 CAPLUS

DN 137:337791

TI Preparation of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf kinase

IN Dumas, Jacques; Riedl, Bernd; Khire, Uday; Sibley, Robert N.;
Hatoum-Mokdad, Holia; Monahan, Mary-Katherine; Gunn, David E.; Lowinger,
Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.

PA Bayer Corporation, USA

SO PCT Int. Appl., 65 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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DATE
     PATENT NO.
                         KIND
                                                 APPLICATION NO.
                                                                     DATE
                         ____
                                                 ______
                                ______
                          A2
                                20021031
                                                 WO 2002-US12066 20020418
PΙ
     WO 2002085857
     WO 2002085857
                          Α3
                                20030116
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               PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
               CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
               BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI US 2001-838285
                                20010420
OS
     MARPAT 137:337791
     Title compds. A-D-B (I) [D = NHCONH; A = (un)substituted t-butylpyridyl,
AB
     etc.; B = (un)substituted bridged cyclic structure, etc.] and analogs were
     prepd. For instance, 4-tert-butyl-2-aminopyridine was coupled to
     4-(4-pyridylmethyl)aniline (CH2Cl2, CDI, 0.degree.) to give
     N-(4-tert-butylpyridyl)-N'-[4-(4-pyridinylmethyl)phenyl]urea as a white
     solid. Example compds. had IC50 between 10nM and 10.mu.M for raf kinase.
     I are useful for the treatment of cancerous cell growth mediated by raf
     kinase.
IT
     432050-17-4P, N-(4-tert-Butylpyridyl)-N'-(2,3-dichlorophenyl)urea
     432050-18-5P, N-(4-tert-Butylpyridyl)-N'-[4-(4-
     pyridinylmethyl)phenyl]urea 432050-20-9P, N-(4-tert-
     Butylpyridyl)-N'-[4-(4-chlorophenoxy)phenyl]urea 432050-41-4P
     432050-42-5P 432050-43-6P 432050-44-7P
     473915-54-7P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
      (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
         (prepn. of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf
         kinase)
     432050-17-4 CAPLUS
RN
CN
     Urea, N-(2,3-dichlorophenyl)-N'-[4-(1,1-dimethylethyl)-2-pyridinyl]-(9CI)
        (CA INDEX NAME)
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RN 432050-18-5 CAPLUS
CN Urea, N-[4-(1,1-dimethylethyl)-2-pyridinyl]-N'-[4-(4-pyridinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 432050-20-9 CAPLUS

CN Urea, N-[4-(4-chlorophenoxy)phenyl]-N'-[4-(1,1-dimethylethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 432050-41-4 CAPLUS

CN Urea, N-[4-(1,1-dimethylethyl)-2-pyridinyl]-N'-[4-(4-methylphenoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 432050-42-5 CAPLUS

CN Urea, N-[4-(1,1-dimethylethyl)-2-pyridinyl]-N'-[4-(4-pyridinyloxy)phenyl]- (9CI) (CA INDEX NAME)

RN 432050-43-6 CAPLUS

CN Urea, N-[4-(1,1-dimethylethyl)-2-pyridinyl]-N'-[4-(4-pyridinylthio)phenyl]- (9CI) (CA INDEX NAME)

RN 432050-44-7 CAPLUS

CN Urea, N-[4-(1,1-dimethylethyl)-2-pyridinyl]-N'-[3-(4-pyridinylthio)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O \\ \parallel \\ N \end{array} \qquad \begin{array}{c|c} Bu-t \\ \end{array}$$

RN473915-54-7 CAPLUS

CNUrea, N-[4-(1,1-dimethylethyl)-2-pyridinyl]-N'-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)

L11 ANSWER 4 OF 26 CAPLUS COPYRIGHT 2003 ACS

AN2002:615574 CAPLUS

DN 137:169425

Preparation of N-aryl-N'-[(acylphenoxy)phenyl]ureas as raf kinase ΤI inhibitors

Dumas, Jacques; Riedl, Bernd; Khire, Uday; Wood, Jill E.; Sibley, Robert N.; Monahan, Mary-Katherine; Renick, Joel; Gunn, David E.; Lowinger, IN Timothy B.; Scott, William J.; Smith, Roger A.

PΑ Bayer Corporation, USA

so PCT Int. Appl., 125 pp.

CODEN: PIXXD2

DTPatent

LΑ English

GI

FAN.CNT 3																					
	PAT	PENT 1	NO.		KI	ND	DATE			A	PPLI	CATI	0.	DATE							
PI		2002062763 2002062763							WO 2002-US3361 20020207												
		W:	AE, CO, GM, LS, PT, US, GH, CY,	AG, CR, HR, LT, RO, UZ, GM, DE,	AL, CU, HU, LU, RU, VN, KE, DK,	AM, CZ, ID, LV, SD, YU, LS, ES,	AT, DE, IL, MA, SE, ZA, MW, FI,	AU, DK, IN, MD, SG, ZW, MZ, FR,	DM, IS, MG, SI, AM, SD, GB,	DZ, JP, MK, SK, AZ, SL, GR,	EC, KE, MN, SL, BY, SZ, IE,	EE, KG, MW, TJ, KG, TZ, IT,	ES, KP, MX, TM, KZ, UG, LU,	FI, KR, MZ, TR, MD, ZM, MC,	BZ, GB, KZ, NO, TT, RU, ZW, NL,	GD, LC, NZ, TZ, TJ, AT, PT,	GE, LK, PH, UA, TM BE, SE,	GH, LR, PL, UG, CH, TR,			
PRAI	BF, BJ, US 2002165394 US 2001-777920 US 1999-115877P US 1999-257266 US 1999-425228 US 2001-758548 MARPAT 137:16942			A P B: B:	1 2 2	2002 2001	1107 0207 0113 0225 1022									TD,	TG				

AB Title compds., e.g., RNHCONHZOR1 [I; R = C6H4(CMe3)-3, 2-methoxy-5-trifluoromethylphenyl, 4-chloro-3-trifluoromethylphenyl, 2-methoxy-3-quinolyl, etc.; R1 = (un)substituted acylphenyl, -acylpyridinyl, etc.; Z = (un)substituted 1,3- or -1,4-phenylene] were prepd. Thus, 4-(H2N)C6H4OC6H4(CONHMe)-4 (prepn. given) was condensed with 3-(Me3C)C6H4NH2 and CO(OCCl3)2 to give title compd. II. Data for biol. activity of title compds. were given.

IT 432050-20-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

II

(prepn. of N-aryl-N'-[(acylphenoxy)phenyl]ureas as raf kinase
inhibitors)

RN 432050-20-9 CAPLUS

CN Urea, N-[4-(4-chlorophenoxy)phenyl]-N'-[4-(1,1-dimethylethyl)-2-pyridinyl](9CI) (CA INDEX NAME)

L11 ANSWER 5 OF 26 CAPLUS COPYRIGHT 2003 ACS

AN 2002:591913 CAPLUS

DN 137:150215

TI Cdk4 and/or Cdk6 inhibitors with biaryl ureas and their salts as antitumor agents

IN Hatayama, Satoshi; Hayashi, Kyoko; Honma, Mitsuki; Takahashi, Ikuko

PA Banyu Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 194 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

09/838,286

$$R^{1}$$
 $X = Z$ 
 $Y$ 
 $R^{3}$ 
 $HN$ 
 $NHAr$ 
 $R^{5}$ 
 $O$ 
 $I$ 

AB This invention relates to the general structures (I; Ar = N-contg. hetero arom. ring, X, Z = C, etc.; Y = CO, etc.; R1-R5 = H, etc.) and their salts as Cdk4 and/or Cdk6 inhibitors. I have antiproliferative effects on cancer cells and are potential antitumor agents. Formulation examples of I capsules, tablets, and injections were given.

IT 322681-36-7 322685-93-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Cdk4 and/or Cdk6 inhibitors with biaryl ureas and their salts as antitumor agents)

RN 322681-36-7 CAPLUS

CN Urea, N-(4-methyl-2-pyridinyl)-N'-(9-oxo-9H-fluoren-4-yl)- (9CI) (CA INDEX NAME)

RN 322685-93-8 CAPLUS

CN Urea, N-(4-ethenyl-2-pyridinyl)-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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ANSWER 6 OF 26 CAPLUS COPYRIGHT 2003 ACS
AN
     2001:78363 CAPLUS
     134:147614
DN
TI
     Preparation of N,N'-biarylurea derivatives as inhibitors of
     cyclin-dependent kinases (Cdk4 and Cdk6)
IN
     Hayama, Takashi; Hayashi, Kyoko; Honma, Mitsutaka; Takahashi, Ikuko
PΑ
     Banyu Pharmaceutical Co., Ltd., Japan
SO
     PCT Int. Appl., 460 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     Japanese
FAN.CNT 1
     PATENT NO.
                       KIND
                             DATE
                                             APPLICATION NO. DATE
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                       ____
                             _____
                                             ----<del>-</del>
     WO 2001007411
                             20010201
                                                               20000726
ΡI
                        Α1
                                             WO 2000-JP4991
            AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ,
             DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, KG, KR, KZ, LC, LK,
             LR, LT, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, RU, SG,
             SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG,
             KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                             20010417
     JP 2001106673
                        A2
                                            JP 2000-274175
                                                               20000726
     EP 1199306
                        A1
                             20020424
                                             EP 2000-949909
                                                               20000726
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL
PRAI JP 1999-211384
                       Α
                             19990726
     WO 2000-JP4991
                        W
                             20000726
OS
     MARPAT 134:147614
GΙ
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$$R^{1}$$
 $X = Z - R^{3}$ 
 $Y$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{5}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{5}$ 
 $R^{5}$ 
 $R^{5}$ 

AB N-(hetero)aryl-N'-heterocyclylurea derivs. represented by general formula (I) [wherein Ar represents a nitrogenous heterocyclic arom. group such as (un) substituted pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, pyrazolyl, pyrrolyl, imidazolyl, indolyl, isoindolyl, quinolyl, isoquinolyl, benzothiazolyl, or benzoxazolyl; X and Z each represents C or N or together with R1 or R2 and/or R3 represent CH or N; Y represents CO, SO, or SO2; R1 represents hydrogen, (un) substituted lower alkyl, Y3-W2-Y4-R5, etc.; wherein R5 = H, (un) substituted lower alkyl, lower alkenyl, lower alkynyl, lower cycloalkyl, aryl, imidazolyl, isoxazolyl, isoquinolyl, isoindolyl, indazolyl, indolyl, indolidinyl, isothiazolyl, ethylenedioxyphenyl, oxazolyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, pyrazolyl, quinoxalinyl, quinolyl, etc.; W2 = ingle bond, O, S, SO, SO2, N-(un) substituted NH, SO2NH, NHSO2NH, NHSO2, CONH, NHCO, NHCONH, NHCO2, etc.; Y3, Y4 = single bond, linear or branched lower alkylene; R2 and R3 each represents hydrogen, lower alkyl or alkoxy, or Y3-W2-Y4-R5 (Y3, W2, Y4, R5 = same as above), or one of R2 and R3 together with R1 and X forms cyclohexane, cyclopentane, piperidine, 3,4,5,6-tetrahydro-1,3-oxazine, tetrahydrothiopyran, pyrrolidine, tetrahydrothiofuran, oxazolidine ring, etc.; R4 and R5 represent H, halo, OH, amino, or Y3-W2-Y4-R5 (Y3, W2, Y4, R5 = same as above)] or salts thereof are prepd. The compds. (e.g. II) have a remarkable proliferation-inhibitory effect on tumor cells. A Cdk4 and/or Cdk6 inhibitor for use in the therapy of malignant tumor can hence be provided. II showed IC50 of 0.061 and 0.019 .mu.M against cyclin-D1-Cdk4 and cyclin-D2-Cdk4, resp., vs. 0.36 and 0.056 .mu.M, resp., for (.+-.)-flavopiridol, and inhibited the proliferation of HCT116 and MKN-1 cells with IC50 of 0.013 and 0.10 .mu.M, resp., vs. 0.15 and 0.87 .mu.M, resp., for (.+-.)-flavopiridol. Pharmaceutical formulations contg. I were prepd.

II

## IT 322681-36-7P 322685-93-8P

RN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-(hetero)aryl-N'-heterocyclylurea derivs. as inhibitors of cyclin-dependent kinases (Cdk4 and Cdk6) and antitumor agents) 322681-36-7 CAPLUS

CN Urea, N-(4-methyl-2-pyridinyl)-N'-(9-oxo-9H-fluoren-4-yl)- (9CI) (CA

INDEX NAME)

RN 322685-93-8 CAPLUS

CN Urea, N-(4-ethenyl-2-pyridinyl)-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

# RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L11 ANSWER 7 OF 26 CAPLUS COPYRIGHT 2003 ACS
- AN 2001:719183 CAPLUS
- DN 136:37266
- TI Complexation-Induced Unfolding of Heterocyclic Ureas. Simple Foldamers Equilibrate with Multiply Hydrogen-Bonded Sheetlike Structures
- AU Corbin, Perry S.; Zimmerman, Steven C.; Thiessen, Paul A.; Hawryluk, Natalie A.; Murray, Thomas J.
- CS Department of Chemistry, University of Illinois, Urbana, IL, 61801, USA
- SO Journal of the American Chemical Society (2001), 123(43), 10475-10488 CODEN: JACSAT; ISSN: 0002-7863
- PB American Chemical Society

DT Journal

LA English

The synthesis and conformational studies of heterocyclic ureas (amides) AΒ N, N'-Di-2-pyridylurea (I), 2,7-Dipentanoylamido-1,8-naphthyridine (II), N-Butyl-N'-(1,8-naphthyridin-2-yl)urea (III), N-Butyl-N'-(4-methylpyridin-2-yl)urea (IV), 2-Pentanoylamido-1,8-naphthyridine (V), Bis-2,7-(3-(3,4,5-tridodecyloxyphenyl)uryl)-1,8-naphthyridine (VI), and N, N'-Di-((5,7-dipropyl-(1,8-naphthyridin))-2-yl)urea (VII) and their concn.-dependent unfolding to form multiply hydrogen-bonded complexes are described. Ureas I and VII were prepd. by reacting 2-aminopyridine and aminonaphthyridine, resp., with triphosgene and 4-(dimethylamino)pyridine (DMAP). Heterocyclic ureas III and IV, were prepd. by treating their corresponding amino precursors with butylisocyanate, whereas bisureido naphthyridines VI was prepd. by heating 2,7-diamino-1,8-naphthyridine (13) with butylisocyanate and 3,4,5-tridodecyloxyphenyl isocyanate, resp. The hydrogen-bonding modules II and V were synthesized. X-ray crystallog. analyses were performed on ureas I and III, , indicating that these ureas are intramolecularly hydrogen-bonded in the solid state. Moreover, detailed 1H NMR soln. studies of indicate that similar folded structures form in chloroform. In addn., naphthyridinylureas III and VII unfold and dimerize by forming four hydrogen bonds at high concns., and ureas I and IV unfold in the presence of their hydrogen-bonding complements, amides II and V, to form complexes with three and four hydrogen bonds, resp. Likewise, the mixing of VI and VII results in a mutual unfolding and formation of a robust, sheetlike, sextuply hydrogen-bonded complex. hydrogen-bonding modules described are useful building blocks for self-assembly, and the unfolding process represents a very primitive mimicry of the helix-to-sheet transition shown by peptides and potentially shown by the hypothetical naphthyridinylurea .

IT 380441-59-8P, 2-(3-(3,4,5-Trisdodecyloxyphenyl)uryl-4-methylpyridine

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (intermediate for prepn. method; crystallog. and NMR spectroscopy studies of conformational unfolding of heterocyclic ureas)

RN 380441-59-8 CAPLUS

CN Urea, N-(4-methyl-2-pyridinyl)-N'-[3,4,5-tris(dodecyloxy)phenyl]- (9CI) (CA INDEX NAME)

RE.CNT 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2003 ACS

AN 2001:920573 CAPLUS

DN 136:164177

TI Cytokinin-like activity of N'-substituted N-phenylureas

AU Ricci, A.; Carra, A.; Torelli, A.; Maggiali, C. A.; Vicini, P.; Zani, F.; Branca, C.

CS Dipartimento di Biologia Evolutiva e Funzionale, Universita di Parma, Parma, I-43100, Italy

SO Plant Growth Regulation (2001), 34(2), 167-172

CODEN: PGRED3; ISSN: 0167-6903

PB Kluwer Academic Publishers

DT Journal

LA English

We have synthesized 14 N-phenylurea derivs., differing in the heterocyclic AΒ portion linked in N'-position, and tested their cytokinin-like activity. Three different bioassays were used: the chlorophyll level detn. test, the bioassay for the expression of hormone-induced chimeric Pg5-GUS gene and the tomato regeneration test, in which 1,2-benzisoxazole-3-acetic acid (BOAA) was utilized as auxin. The cytokinin-like activity showed by three of these compds. in the regeneration assay seems to be related to their different heterocyclic nature. N-phenyl-N'-1,3,4-thiadiazol-2-ylurea, an isomer of N-phenyl-N'-1,2,3-thiadiazol-5-ylurea (thidiazuron. TDZ), in the absence of auxin induces shoot regeneration in the 34,2% of the explants cultured; N-phenyl-N'-(3-chloro-1,2-benzisothiazol-7-yl) urea, structurally different from TDZ, in the absence of auxin induces shoot regeneration in the 25,9% of explants, significantly lower than that of TDZ (68,8%). N-phenyl-N'-benzothiazol-6-ylurea (I), structurally different from TDZ, in the absence of auxin induces 99,5% shoot regeneration, significantly different from that of the other substances. The addn. of auxin in the cotyledon regeneration assay reduces the differences. I could be considered a new phenylurea deriv. with a highly specific cytokinin-like activity.

IT 35466-43-4

RL: BSU (Biological study, unclassified); BIOL (Biological study) (cytokinin-like activity of N'-substituted N-phenylureas)

RN 35466-43-4 CAPLUS

CN Urea, N-(4-methyl-2-pyridinyl)-N'-phenyl- (9CI) (CA INDEX NAME)

RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 9 OF 26 CAPLUS COPYRIGHT 2003 ACS

AN 2000:513673 CAPLUS

DN 133:135235

TI Preparation and anti-tumor, anti-atherosclerosis, anti-psoriasis, anti-diabetes, and anti-arthritis activities of quinolines and quinazolines

IN Kubo, Kazuo; Fujiwara, Yasunari; Isoe, Toshiyuki

PA Kirin Beer Kabushiki Kaisha, Japan

SO PCT Int. Appl., 208 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2000043366 A1 20000727 WO 2000-JP255 20000120 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,

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CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
            RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
                 DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
                  CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                      20000727
       CA 2361057
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                                                          CA 2000-2361057
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                                      20011030
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                                                                                  20000120
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            R:
                 IE, SI, LT, LV, FI, RO
      NO 2001002617
                               Α
                                      20010914
                                                          NO 2001-2617
                                                                                  20010529
PRAI JP 1999-14858
                               Α
                                      19990122
       JP 1999-26691
                               Α
                                      19990203
       JP 1999-142493
                               Α
                                      19990521
       JP 1999-253624
                               Α
                                      19990907
      WO 2000-JP255
                               W
                                      20000120
os
      MARPAT 133:135235
GΙ
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AB Title compds. [I; X and Z represent each CH or N; R1-3 represent each H, optionally substituted alkoxy, etc.; R4 represents H; R5-8 represent each H, halogeno, alkyl, alkoxy, alkylthio, nitro or amino, provided that all of R5-8 do not represent H simultaneously; R9 and R10 represent each H, alkyl or alkylcarbonyl; and R11 represents alkyl, alkenyl, alkynyl or aralkyl], pharmaceutically acceptable salts and solvates, and medicinal compns. contg. the same are prepd. and tested having antitumor activity and causing no morphol. change in cells. Thus, the title compd. I (X = CH; Z = CH; R1, R4, R5, R7-R10 each an H; R11 = 3,5-F2C6H3) was prepd. and tested.

Ι

## IT 286369-87-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and antitumor activity of quinolines and quinazolines)

RN 286369-87-7 CAPLUS

CN Urea, N-[4-[(6,7-dimethoxy-4-quinolinyl)oxy]-2,3-dimethylphenyl]-N'-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

## RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L11 ANSWER 10 OF 26 USPATFULL
ΑN
       1999:63315 USPATFULL
ΤI
       Substituted 2-acylamino-pyridines as inhibitors of nitric oxide synthase
IN
       Guthikonda, Ravindra, Rahway, NJ, United States
       Hagmann, William, Rahway, NJ, United States
       Maccoss, Malcolm, Rahway, NJ, United States
       Shah, Shrenik, Rahway, NJ, United States
       Durette, Philippe, Rahway, NJ, United States
PA
       Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PΙ
       US 5908842
                               19990601
       WO 9618617 19960620
       US 1997-836863
ΑI
                               19970520 (8)
       WO 1995-US16158
                               19951208
                               19970522
                                        PCT 371 date
                               19970522
                                        PCT 102(e) date
DT
       Utility
FS
       Granted
EXNAM
       Primary Examiner: Davis, Zinna Northington
LREP
       Billups, Richard C., Rose, David L., Panzer, Curtis C.
CLMN
       Number of Claims: 6
ECL
       Exemplary Claim: 1
DRWN
      No Drawings
LN.CNT 1299
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Substituted 2-acylaminopyridine compounds and pharmaceutically
AB
       acceptable salts which have been found useful in the treatment of nitric
       oxide synthase mediated diseases and disorders.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
   179341-92-5P
        (prepn. as inhibitors of nitric oxide synthase)
RN
     179341-92-5 USPATFULL
CN
    Urea, N-(4-methylphenyl)-N'-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)
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$$\begin{array}{c|c} Me & & \\ & & \\ & NH-C-NH \end{array}$$

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L11 ANSWER 11 OF 26 CAPLUS COPYRIGHT 2003 ACS
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AN 1996:467112 CAPLUS

DN 125:114503

TI Substituted 2-acylamino-pyridines as inhibitors of nitric oxide synthase

IN Guthikonda, Ravindra K.; Hagmann, William K.; Maccoss, Malcolm; Shah, Shrenik K.; Durette, Philippe L.

PA Merck and Co., Inc., USA

SO PCT Int. Appl., 79 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

ran.cni i																			
PATENT NO.					ND	DATE			Α	PPLI	CATI	ο.	DATE						
WO	9618617			A1				WO 1995-US16158 19951208											
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		KG,	KR,	ΚZ,	LK,	LR,	LT,	LV,	MD,	MG,	MK,	MN,	MX,	NO,	NZ,	PL,	RO,		
		RU,	SG,	SI,	SK,	ТJ,	TM,	TT,	UA,	US,	UZ,	VN							
	RW:	KE,	LS,	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,		
		IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	ML,	MR,		
		NE,	SN,	TD,	TG												•		
ΑU	U 9645158			A1 19960703				Αl	U 19	96-4		19951208							
US 5908842			Α		1999	0601		US 1997-836863 19970520											
US	US 1994-353859 19941212						1212												
WO 1995-US16158						1995	1208												
	PAT WO WO AU US US	PATENT	PATENT NO WO 9618617 W: AL, KG, RU, RW: KE, IT, NE, AU 9645158 US 5908842 US 1994-353	PATENT NO.  WO 9618617  W: AL, AM,  KG, KR,  RU, SG,  RW: KE, LS,  IT, LU,  NE, SN,  AU 9645158 US 5908842 US 1994-353859	PATENT NO. KING PATENT PATE	PATENT NO. KIND	PATENT NO. KIND DATE  WO 9618617 A1 1996 W: AL, AM, AU, BB, BG,  KG, KR, KZ, LK, LR,  RU, SG, SI, SK, TJ,  RW: KE, LS, MW, SD, SZ,  IT, LU, MC, NL, PT,  NE, SN, TD, TG  AU 9645158 A1 1996 US 5908842 A 1999 US 1994-353859 1994	PATENT NO. KIND DATE  WO 9618617 A1 19960620 W: AL, AM, AU, BB, BG, BR, KG, KR, KZ, LK, LR, LT, RU, SG, SI, SK, TJ, TM, RW: KE, LS, MW, SD, SZ, UG, IT, LU, MC, NL, PT, SE, NE, SN, TD, TG  AU 9645158 A1 19960703 US 5908842 A 19990601 US 1994-353859 19941212	PATENT NO. KIND DATE	PATENT NO. KIND DATE A	PATENT NO. KIND DATE APPLI  WO 9618617 A1 19960620 WO 19  W: AL, AM, AU, BB, BG, BR, BY, CA, CN, KG, KR, KZ, LK, LR, LT, LV, MD, MG, RU, SG, SI, SK, TJ, TM, TT, UA, US, RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, IT, LU, MC, NL, PT, SE, BF, BJ, CF, NE, SN, TD, TG  AU 9645158 A1 19960703 AU 19 US 5908842 A 19990601 US 19 US 1994-353859 19941212	PATENT NO. KIND DATE APPLICATION  WO 9618617 A1 19960620 WO 1995-U W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, NE, SN, TD, TG  AU 9645158 A1 19960703 AU 1996-48 US 5908842 A 19990601 US 1997-83 US 1994-353859 19941212	PATENT NO. KIND DATE APPLICATION NO. WO 9618617  W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, NE, SN, TD, TG  AU 9645158  Al 19960703  AU 1996-45158  US 1994-353859  AN 19990601  US 1997-836865	PATENT NO. KIND DATE APPLICATION NO.  WO 9618617 A1 19960620 WO 1995-US16158  W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI,     KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX,     RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN  RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES,     IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM,     NE, SN, TD, TG  AU 9645158 A1 19960703 AU 1996-45158 US 5908842 A 19990601 US 1997-836863 US 1994-353859 19941212	PATENT NO. KIND DATE APPLICATION NO. DATE  WO 9618617 A1 19960620 WO 1995-US16158 1995  W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE,     KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO,     RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN  RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR,     IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,     NE, SN, TD, TG  AU 9645158 A1 19960703 AU 1996-45158 1995  US 1994-353859 19941212	PATENT NO. KIND DATE APPLICATION NO. DATE  WO 9618617 A1 19960620 WO 1995-US16158 19951208  W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU,	PATENT NO. KIND DATE APPLICATION NO. DATE  WO 9618617 A1 19960620 WO 1995-US16158 19951208  W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN  RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, NE, SN, TD, TG  AU 9645158 A1 19960703 AU 1996-45158 19951208 US 5908842 A 19990601 US 1997-836863 19970520 US 1994-353859 19941212		

OS MARPAT 125:114503

AB Substituted 2-acylaminopyridine compds. and pharmaceutically acceptable salts were prepd. which were found useful in the treatment of nitric oxide synthase mediated diseases and disorders.

IT 179341-92-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. as inhibitors of nitric oxide synthase)

RN 179341-92-5 CAPLUS

CN Urea, N-(4-methylphenyl)-N'-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

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L11 ANSWER 12 OF 26 CAPLUS COPYRIGHT 2003 ACS
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AN 1995:674340 CAPLUS

DN 123:77033

TI Effect of synthetic auxin and cytokinin on the growth of callus tissues

from Nicotiana tabacum CMS/81

AU Yonova, P.; Zozikova, E.; Vassilev, G.; Stoynova, E.

CS M. Popov Institute of Plant Physiology, Bulg.

SO Biotechnology & Biotechnological Equipment (1995), (1), 77-80 CODEN: BTTEEJ

PB Diagnosis Press

DT Journal

LA English

The influence of new synthetic auxin hydrazide of 4-chlorophenoxyacetic AB acid (H-4-CPA) and cytokinin 1-(3-chlorophenyl)-3-[2-(4-methyl)pyridyl]-2urea (3-CP-4-MPU) on the growth of callus tissues from tobacco was investigated. The substances were applied exogenously to the autoclaved nutrient medium independently and in combination at both concns.: 0.5 mg/L and 4.0 mg/L. 3-CP-4-MPU (0.5 mg/L or 1.9 .mu. M) manifested higher growth stimulating effect than kinetin (0.2 .mu. M - std. 1). Kinetin at 1.9 .mu. M equimolar to that of the used phenylurea cytokinin inhibited the growth of tobacco calli. The high concn. of 3-CP-4-MPU (4.0 mg/L or 15.2 .mu. M) strongly reduced the fresh and dry wt., therefore the phenylurea cytokinin is an inhibitor for this plant system at concn. 8-fold higher than that of kinetin. H-4-CPA increased the tobacco callus growth at both used concns. The simultaneous application of 1.9 .mu.  ${\tt M}$ 3-CP-4-MPU and 2.5 .mu. M H-4-CPA to tobacco callus accelerated its growth while the higher concns. of both compds. (15.2 .mu. M 3-CP-4-MPU + 20.0 .mu. M H-4-CPA) inhibited the biomass accumulation.

#### IT 125300-55-2 165326-86-3

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(effect of synthetic auxin and cytokinin on growth of callus tissues from Nicotiana tabacum CMS/81)

RN 125300-55-2 CAPLUS

CN Urea, N-(3-chlorophenyl)-N'-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 165326-86-3 CAPLUS

CN Acetic acid, (4-chlorophenoxy)-, hydrazide, mixt. with N-(3-chlorophenyl)-N'-(4-methyl-2-pyridinyl)urea (9CI) (CA INDEX NAME)

CM 1

CRN 125300-55-2 CMF C13 H12 C1 N3 O

CM 2

CRN 2381-75-1 CMF C8 H9 Cl N2 O2

L11 ANSWER 13 OF 26 CAPLUS COPYRIGHT 2003 ACS

AN 1994:71433 CAPLUS

DN 120:71433

TI Physiological effects of ureas and thioureas. Synthesis and cytokinin activity of 1-(4-fluorophenyl)-3-pyridyl-2-ureas and thioureas

AU Yonova, P. A.; Vassilev, G. N.

CS Inst. Plant Physiol. 'M. Popov', Sofia, 1113, Bulg.

Physiol. Biochem. Cytokinins Plants, Symp. (1992), Meeting Date 1990, 219-21. Editor(s): Kaminek, Miroslav; Mok, David W. S.; Zazimalova, Eva. Publisher: SPB Acad. Publ., The Hague, Neth. CODEN: 59KXA9

DT Conference

LA English

AB The synthesis of some 1-(4-fluorophenyl)-3-pyridyl-2-ureas and thioureas and their cytokinin activity and structure-activity relationships are described. The cytokinin structure-activity relationships in this series of compds. depends on the structure of the pyridine ring. Compds. with unsubstituted pyridyl or with 4-CH3 mono-substituted-2-pyridyl rings possess considerable activity. Therefore, the movement of the Me group away from the heteroatom and from the urea (thiourea) bridge favors the manifestation of high cytokinin activity.

IT 152359-02-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and cytokinin activity of, structure in relation to)

RN 152359-02-9 CAPLUS

CN Urea, N-(4-fluorophenyl)-N'-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

L11 ANSWER 14 OF 26 CAPLUS COPYRIGHT 2003 ACS

AN 1993:553908 CAPLUS

DN 119:153908

TI Synthesis and antiphytoviral activity of some 1,3-disubstituted ureas

AU Yonova, P. A.; Vassilev, G. N.; Kluge, S.

CS Inst. Plant Physiol., Sofia, 1113, Bulg.

### 09/838,286

SO Dokladi na Bulgarskata Akademiya na Naukite (1992), 45(10), 99-102 CODEN: DBANEH; ISSN: 0861-1459

DT Journal

LA English

The antiphytoviral activity of 1-(3- and 4-chlorophenyl)-3-pyridylureas (21 compds.) against potato virus S (PVX) and red clover mottle virus (RCMV) in tobacco depended on the structure of compd.

1-(3-Chorophenyl)-3-(4-methylpyridyl)urea was the most (43%) active compd. against RCMV. Also 1-(4-nitrophenyl)-3-(5-salicyl)urea gave 62% inhibition of PVX on tobacco leaves.

IT 35466-46-7P 125300-55-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and antiviral activity of, structure in relation to)

RN 35466-46-7 CAPLUS

CN Urea, N-(4-chlorophenyl)-N'-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 125300-55-2 CAPLUS

CN Urea, N-(3-chlorophenyl)-N'-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

L11 ANSWER 15 OF 26 CAPLUS COPYRIGHT 2003 ACS

AN 1991:607959 CAPLUS

DN 115:207959

TI Some reactions of 3-thioxo-6-[2-acyl/alkyl aminophenyl]-1,2,4-triazin-5(2H,4H)-ones

AU Abdel-Rahman, R. M.

CS Fac. Educ., Ain Shams Univ., Cairo, Egypt

SO Pakistan Journal of Scientific and Industrial Research (1990), 33(12), 520-4
CODEN: PSIRAA; ISSN: 0030-9885

DT Journal

LA English

GΙ

Thioxo(aminophenyl)triazinones I [R = COR1, R1 = OEt, CH2C1, 4-O2NC6H4, 3,3,4-(HO)3C6H2, 3,3,4-(MeO)3C6H2; R = CH2CO2H, 4-O2NC6H4CH2, 3,3,4-Me3C6H2COCH2, SO2Ph, SO2C6H4NHCOMe-4] were prepd. by reacting I (R = H) with R1COCl or RCl (R = CH2CO2H, 4-O2NC6H4CH2, 3,3,4-Me3C6H2COCH2, SO2Ph, SO2C6H4NHCOMe-4). Many of these compds. were further derivatized.

IT 136715-95-2P

RN 136715-95-2 CAPLUS

CN Urea, N-(4-methyl-2-pyridinyl)-N'-[2-(2,3,4,5-tetrahydro-5-oxo-3-thioxo-1,2,4-triazin-6-yl)phenyl]- (9CI) (CA INDEX NAME)

L11 ANSWER 16 OF 26 CAPLUS COPYRIGHT 2003 ACS

AN 1990:95636 CAPLUS

DN 112:95636

 ${\tt TI}$  Effect of two non-purine cytokinins on the growth of callus tissues from Nicotiana tabacum CMS 81

AU Ionova, P.; Izvorska, N.; Vasilev, G.; Belcheva, R.

CS M. Popov Inst. Plant Physiol., Sofia, 1113, Bulg.

SO Doklady Bolgarskoi Akademii Nauk (1989), 42(8), 71-3 CODEN: DBANAD; ISSN: 0366-8681

DT Journal

LA English

AB N-3-Chlorophenyl-N'-2-(4-methylpyridyl)urea (I) had different activity on tobacco callus tissue growth from that of its isomer N-3-chlorophenyl-N'-2-(5-methylpyridyl)urea (II) indicating that the position of the Me group in the pyridyl ring is of determinant significance. II had activity similar to that of kinetin. I showed stimulating effect on tobacco callus at low concns. (0.5 and 1 mg/L), and an inhibiting effect at high concns. Also, the presence of a Cl atom in the benzene ring meta to the urea bridge increased the cytokinin activity of I and II, as compared to N-phenyl-N'-2-(4- and 5-methylpyridyl)ureas.

IT 125300-55-2

RL: BIOL (Biological study)

(tobacco callus tissue growth response to)

RN 125300-55-2 CAPLUS

CN Urea, N-(3-chlorophenyl)-N'-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

L11 ANSWER 17 OF 26 CAPLUS COPYRIGHT 2003 ACS

AN 1990:406107 CAPLUS

DN 113:6107

Synthesis and growth-regulating activity of some N-3-fluorophenyl-N'-pyridyl and methylpyridylureas

AU Ionova, P.; Vasilev, G.

CS M. Popov Inst. Plant Physiol., Sofia, 1113, Bulg.

SO Doklady Bolgarskoi Akademii Nauk (1989), 42(9), 55-8 CODEN: DBANAD; ISSN: 0366-8681

DT Journal

LA English

OS CASREACT 113:6107

GΙ

$$R^1$$
  $R$   $R$   $I$ 

AB Condensation of I (R = H; R1 = NH2) or I (R = NH2; R1 = Me) with 3-FC5H4NCO gave the corresponding pyridylurea derivs. I (R = H; R1 = NHCONHC6H4F-3) and I (R = NHCONHC6H4F-3; R1 = Me) in good yields. The interrelation between the growth regulating and cytokinin activities of these compds. and their chem. structures were also examd.

IT 127489-12-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and cytokinin and herbicidal activities of)

RN 127489-12-7 CAPLUS

CN Urea, N-(3-fluorophenyl)-N'-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

L11 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2003 ACS

AN 1990:401948 CAPLUS

DN 113:1948

TI The effect of some new urea derivatives on tobacco calluses

AU Vasilev, G.; Izvorska, N.; Ionova, P.; Belcheva, R.

CS "Metodi Popov" Inst. Plant Physiol., Sofia, Bulg.

SO Fiziologiya na Rasteniyata (Sofia) (1989), 15(4), 47-54

CODEN: FIRADV; ISSN: 0324-0290

DT Journal

LA Bulgarian

GI

AB Of the 3-chlorophenyl-N'-pyridylureas (I, R = H, Me), the 4-methyl-2-pyridyl deriv. was the most effective cytokinin in the tobacco callus bioassays. The 2- and 3-pyridyl derivs. also exceeded the kinetin std. Synthesis was given.

IT 125300-55-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and cytokinin activity of, in tobacco calluses)

RN 125300-55-2 CAPLUS

CN Urea, N-(3-chlorophenyl)-N'-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

L11 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2003 ACS DUPLICATE 3

AN 1988:524310 CAPLUS

DN 109:124310

TI Synthesis of some N-phenyl-N'-pyridylureas and investigating their influence on the growth and development of isolated plant tissues

AU Vasilev, G.; Izvorska, N.; Lilov, D.; Ionova, P.; Dimcheva, Z.

CS "M. Popov" Inst. Plant Physiol., Sofia, 1113, Bulg.

SO Doklady Bolgarskoi Akademii Nauk (1987), 40(7), 109-12 CODEN: DBANAD; ISSN: 0366-8681

DT Journal

LA English

AB N-Phenyl-N'-2-(4-methylpyridyl)urea (I) and N-phenyl-N'-2-(5-methylpyridyl)urea (II), prepd. by treating PhNCO with H2NPy, where Py = 5- or 4-methylpyridyl, possess marked cytokinin activity. The order of activity is II > kinetin > I. The activity of I and II was tested in calluses and tobacco meristem explants, as well as the isolated meristems from cork oak.

IT 35466-43-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and cytokinin activity of)

RN 35466-43-4 CAPLUS

CN Urea, N-(4-methyl-2-pyridinyl)-N'-phenyl- (9CI) (CA INDEX NAME)

L11 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2003 ACS

AN 1987:554210 CAPLUS

DN 107:154210

TI Synthesis, chemical structure, and biological activity of some N-4-chlorophenyl-N'-pyridyl and methyl-pyridylureas

AU Ionova, P.; Vasilev, G.

CS M. Popov Inst. Plant Physiol., Sofia, 1113, Bulg.

SO Doklady Bolgarskoi Akademii Nauk (1987), 40(2), 95-8

CODEN: DBANAD; ISSN: 0366-8681

DT Journal

LA English

GΙ

AB Title ureas I were prepd., and they showed herbicidal and plant growth regulator activity. The addn. reaction of 4-ClC6H4NCO with aminopyridines gave I.

IT 35466-46-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and herbicidal activity of)

RN 35466-46-7 CAPLUS

CN Urea, N-(4-chlorophenyl)-N'-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

L11 ANSWER 21 OF 26 CAPLUS COPYRIGHT 2003 ACS

AN 1987:595019 CAPLUS

DN 107:195019

 ${\tt TI}$  Effect of new plant regulators on the growth and development of isolated plant tissues

AU Izvorska, N.; Vasilev, G.; Lilov, D.; Ionova, P.; Dimcheva, Z.

CS "Metodi Popov" Inst. Plant Physiol., Sofia, Bulg.

SO Fiziologiya na Rasteniyata (Sofia) (1987), 13(2), 48-55 CODEN: FIRADV; ISSN: 0324-0290

DT Journal

LA Bulgarian

AB The effect of synthetic non-purine cytokinins N-phenyl-N'-2-(4-methylpyridyl) urea (P-4MPU) and N'-phenyl-N-2'-(5-methyl-2-pyridyl) urea (P-5MPU) on callus formation and morphogenesis was tested on callus cultures of tobacco and meristem-derived tobacco and cork-oak (Quercus suber) plantlets. Highest cytokinin effect, in respect to callus growth, organ development, and prodn. of sterile tobacco plants, was recorded at 3 mg/L P-4MPU and 0.5 and 1 mg/L P-5MPU. Callus formation at the base of meristem explants of cork-oak as well as development of well shaped leaves was recorded at 0.5 mg/L P-4MPU and 0.05 mg/L P-5MPU. According to the specific requirements of cork-oak explants, as well as callus development and organogenesis in tobacco explants, the non-purine substance P-4MPU was lower than kinetin, while P-5MPU had a higher activity than kinetin.

IT 35466-43-4

RL: PROC (Process)

(cytokinin action of, on cork oak and tobacco explants)

RN 35466-43-4 CAPLUS

CN Urea, N-(4-methyl-2-pyridinyl)-N'-phenyl- (9CI) (CA INDEX NAME)

L11 ANSWER 22 OF 26 CAPLUS COPYRIGHT 2003 ACS

AN 1986:224484 CAPLUS

DN 104:224484

TI Molecular conformation of 1,3-pyridylphenylureas by proton and carbon-13 NMR study

AU Sudha, L. V.; Sathyanarayana, D. N.

CS Dep. Inorg. Physical Chem., Indian Inst. Sci., Bangalore, 560 012, India

SO Journal of Molecular Structure (1985), 131(1-2), 141-6 CODEN: JMOSB4; ISSN: 0022-2860 DT Journal

LA English

AB NMR data indicate that the E,Z rotamer for the title ureas is stabilized by intramol. H bonding.

IT 35466-43-4

RL: PRP (Properties) (conformation of)

RN 35466-43-4 CAPLUS

CN Urea, N-(4-methyl-2-pyridinyl)-N'-phenyl- (9CI) (CA INDEX NAME)

L11 ANSWER 23 OF 26 CAPLUS COPYRIGHT 2003 ACS

AN 1984:490736 CAPLUS

DN 101:90736

TI Synthesis and biological activity of some N-methyl- and phenyl-N'-pyridyl and methylpyridylureas

AU Vasilev, G.

CS Inst. Plant Physiol., Sofia, Bulg.

SO Doklady Bolgarskoi Akademii Nauk (1984), 37(4), 517-20

CODEN: DBANAD; ISSN: 0366-8681

DT Journal

LA English

GΙ

AB Ureas I (R = Me Ph; R1 = 3-, 4-, 5-, or 6-Me) were prepd., and they showed herbicidal and plant growth regulator activity. Thus, MeNCO was treated with 2-amino-3-methylpyridine to give I (R = Me, R1 = 3-Me).

IT 35466-43-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and herbicidal and plant growth regulator activity of)

RN 35466-43-4 CAPLUS

CN Urea, N-(4-methyl-2-pyridinyl)-N'-phenyl- (9CI) (CA INDEX NAME)

L11 ANSWER 24 OF 26 CAPLUS COPYRIGHT 2003 ACS

AN 1981:587129 CAPLUS

DN 95:187129

TI Studies on heterocyclic compounds. XXXIV. Synthesis of 2-substituted aminobenzoxazoles with nickel peroxide

AU Ogura, Haruo; Mineo; Satoshi; Nakagawa, Kunio

II

CS Sch. Pharm. Sci., Kitasato Univ., Tokyo, 108, Japan

SO Chemical & Pharmaceutical Bulletin (1981), 29(6), 1518-24 CODEN: CPBTAL; ISSN: 0009-2363

DT Journal

LA English

GΙ

Oxidn. of N-Me (or phenyl)-N'-(4-methylpyrid-2-yl)thiourea with nickel peroxide (Ni-PO) under reflux in benzene or MeCN afforded the corresponding ureas. 2,5-(HO)MeC6H3NHCSNHMe was synthesized by the reaction of 2,4-(H2N)MeC6H3OH (I) and MeNCS in benzene under reflux. However, the reaction of I and PhNCS in benzene under reflux did not afford the thiourea, but 2,5-(HO)MeC6H3NHCSNHPh was obtained in EtOH at room temp. Ni-PO oxidn. of thioureas in MeCN at room temp. afforded 2-substituted aminobenzoxazoles, e.g. II, in good yields. Mechanisms for the reactions of Ni-PO with thioureas are discussed.

IT 35466-43-4P

RN 35466-43-4 CAPLUS

CN Urea, N-(4-methyl-2-pyridinyl)-N'-phenyl- (9CI) (CA INDEX NAME)

35466-43-4 CAPLUS

RN

CN

ANSWER 25 OF 26 CAPLUS COPYRIGHT 2003 ACS 1980:194746 CAPLUS ΑN 92:194746 DN Delayed aging of cut carnations by purine and nonpurine cytokinins TΤ Vasilev, G.; Iliev, L.; Dimcheva, Z.; Ionova, P. ΑU M. Popoff Inst. Plant Physiol., Sofia, Bulg. SO Doklady Bolgarskoi Akademii Nauk (1979), 32(12), 1709-12 CODEN: DBANAD; ISSN: 0366-8681 DT Journal LΑ English AΒ The effect of a no. of thiourea derivs. on the delay of aging of cut carnations was examd. in comparison to diphenylurea (DPU) and kinetin. p-Phenylthioureidosalicylic acid (PTUS) at 10-3M produced the best results in delaying aging of cut carnations of both the William and Wright varieties. The effect was nearly equal to that of kinetin (10-5M) and more marked than that of benzylaminopurine (10-5M) and DPU (10-3M). No synergism was obsd. with various combinations of PTUS, kinetin, DPU, and benzylaminopurine. ΙT 35466-43-4 RL: BIOL (Biological study) (cut carnation delayed aging by) RN 35466-43-4 CAPLUS Urea, N-(4-methyl-2-pyridinyl)-N'-phenyl- (9CI) (CA INDEX NAME) CNL11 ANSWER 26 OF 26 CAPLUS COPYRIGHT 2003 ACS AN1972:113031 CAPLUS DN 76:113031 New derivatives of urea TIΑU Lesiak, Tadeusz; Lerke, Andrzej CS Zakl. Chem. Bydoszcz, Univ. Torun, Torun, Pol. SO Roczniki Chemii (1971), 45(11), 1967-8 CODEN: ROCHAC; ISSN: 0035-7677 DTJournal Polish LА GΙ For diagram(s), see printed CA Issue. Eighteen 1-pyridyl-3-phenylurea derivs. (I, R = H, Me; X = H, Cl) AΒ prepd. from the corresponding 2-, 3-, and 4-aminopyridines and 2-amino-3-, -4-, and -5-methylpyridines by reaction with Ph,  $\frac{1}{4}$ -chlorophenyl, or 3,4-dichlorophenyl isocyanate. Their herbicidal activity was evaluated. IT 35466-43-4P 35466-46-7P 35551-57-6P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

Urea, N-(4-methyl-2-pyridinyl)-N'-phenyl- (9CI) (CA INDEX NAME)

09/838,286

RN 35466-46-7 CAPLUS

CN Urea, N-(4-chlorophenyl)-N'-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 35551-57-6 CAPLUS

CN Urea, N-(3,4-dichlorophenyl)-N'-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

=>

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STRUCTURE UPLOADED L12

=> d

L12 HAS NO ANSWERS

L12 STR

$$H$$
 $H$ 
 $G2$ 
 $G2$ 
 $NH$ 
 $NH$ 

G1 H

G2 C, N

Structure attributes must be viewed using STN Express query preparation.

=> s 112

SAMPLE SEARCH INITIATED 12:56:36 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED -736 TO ITERATE

100.0% PROCESSED

736 ITERATIONS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

\*\*COMPLETE\*\* BATCH

PROJECTED ITERATIONS:

13093 TO 16347

PROJECTED ANSWERS:

5 TO 234 5 ANSWERS

L13

5 SEA SSS SAM L12

=> d scan

L13 5 ANSWERS REGISTRY COPYRIGHT 2003 ACS

2-Naphthalenecarboxylic acid, 3-[[[[3-(trifluoromethyl)phenyl]amino]carbon yl]amino]- (9CI)

MF C19 H13 F3 N2 O3 09/838,286

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L13 5 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Urea, N-(4-methoxyphenyl)-N'-2-naphthalenyl- (9CI)
MF C18 H16 N2 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=>

Uploading 09838286.str

L14 STRUCTURE UPLOADED

=> d

L14 HAS NO ANSWERS

L14 STR

G1 H

G2 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s 114

SAMPLE SEARCH INITIATED 12:58:45 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED -9 TO ITERATE

100.0% PROCESSED

9 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

9 TO 360

PROJECTED ANSWERS:

0 TO

L15

0 SEA SSS SAM L14

=> s 114 ful

FULL SEARCH INITIATED 12:58:55 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 178 TO ITERATE

100.0% PROCESSED

178 ITERATIONS

9 ANSWERS

SEARCH TIME: 00.00.01

L16

9 SEA SSS FUL L14

=> file caplus, uspatful

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST

149.75 602.09

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL ENTRY SESSION

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=> s 116

L17

8 L16

=> dup rem 117

PROCESSING COMPLETED FOR L17

L18

6 DUP REM L17 (2 DUPLICATES REMOVED)

=> d 1-6 bib, abs, hitstr

L18 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2003 ACS DUPLICATE 1

AN 2002:850357 CAPLUS

137:352907 DN

ΤI Preparation of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf kinase for the treatment of tumors and/or cancerous cell growth

Dumas, Jacques; Riedl, Bernd; Khire, Uday; Wood, Jill E.; Robert, Sibley IN N.; Monahan, Mary-Katherine; Renick, Joel; Gunn, David E.; Lowinger,

Timothy B.; Scott, William J.; Smith, Roger A.

PA Bayer Corporation, USA

SO U.S. Pat. Appl. Publ., 63 pp., Cont.-in-part of U.S. Ser. No. 758,548. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 3

GΙ

ran.	PATENT NO.				KI	ND	DATE		A.	PPLI	CATI	ON NO	٥.	DATE				
PI	US	JS 2002165394 JS 2002137774 NO 2002062763			A	A1 20020926			US 2001-777920 US 2001-907970 WO 2002-US3361					<del></del>				
	WO	2002	0627	63	A.	3	20021010			•								
		W:	AE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
															GB,			
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PH,	PL,
			PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,
					-	-		-					-	-	RU,		•	•
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZM,	ZW,	AT,	BE,	CH,
			CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,
			BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
PRAI	US	1999	-115	877P	P		1999	0113										
	US	1999	-257	266	В	2	1999	0225										
	US	1999	-425	228	В	2	1999	1022										
	US	2001	-758	548	A.	2	2001	0112										
	US	2001	-777	920	Α		2001	0207										
OS	MAI	RPAT	137:	3529	07													

AB Title compds. B-NHCONH-L-(M-L1)q (I) [B = (un)substituted pyridyl, quinolinyl, isoquinolinyl; L = 5 or 6 membered cyclic structure; L1 = substituted cyclic moiety having at least 5 members; M = bridging group having at least one atom; q = 1-3; with proviso that L and L1 contain 0-4 hetero atoms, e.g., N, O and S] and their pharmaceutically acceptable salts were prepd. For example, coupling of aniline II, e.g., prepd. from Et 3-hydroxybenzoate in 4-steps, with bis(trichloromethyl)carbonate followed by 3-tert-butylaniline afforded urea III. In in vitro raf kinase assays, 112-specific examples of compds. I inhibited kinase activity with IC50 values ranging from 10 nM-10 .mu.M. Compds. I are useful for the treatment of cancerous cell growth mediated by raf kinase.

## IT 432050-52-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf kinase)

432050-52-7 CAPLUS RN

Benzamide, 3-[4-[[(3-isoquinolinylamino)carbonyl]amino]phenoxy]-N-methyl-CN (9CI) (CA INDEX NAME)

```
L18 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2003 ACS
                                                     DUPLICATE 2
```

ΑN 2002:409267 CAPLUS

DN 137:6098

ΤI Heteroaryl ureas containing nitrogen hetero-atoms as p38 kinase inhibitors

Dumas, Jacques; Riedl, Bernd; Khire, Uday; Sibley, Robert N.; IN Hatoum-Mokdad, Holia; Monahan, Mary-katherine; Gunn, David E.; Lowinger, Timotthy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.

Bayer Corporation, USA PΑ

SO U.S. Pat. Appl. Publ., 39 pp., Cont.-in-part of U.S. Ser. No. 778,039. CODEN: USXXCO

DTPatent

LΑ English

FAN CNT 2

FAN.	PATENT NO.				KI		DATE			APPLICATION NO.				٥.	DATE			
PI		2002065296 2002085859			A1					<b></b>								
		W:	AE, CO, GM, LS, PT, US, GH,	AG, CR, HR, LT, RO, UZ, GM,	AL, CU, HU, LU, RU, VN, KE,	AM, CZ, ID, LV, SD, YU, LS,	AT, DE, IL, MA, SE, ZA, MW,	AU, DK, IN, MD, SG, ZW, MZ,	AZ, DM, IS, MG, SI, AM, SD,	BA, DZ, JP, MK, SK, AZ, SL,	BB, EC, KE, MN, SL, BY, SZ,	BG, EE, KG, MW, TJ, KG,	BR, ES, KP, MX, TM, KZ, UG,	BY, FI, KR, MZ, TR, MD, ZM,	BZ, GB, KZ, NO, TT, RU, ZW, NL,	CA, GD, LC, NZ, TZ, TJ, AT,	GE, LK, PH, UA, TM BE,	GH, LR, PL, UG,
PRAI OS	US US US US	1999- 1999- 1999- 2001- 2001- RPAT	BF, -115; -257; -425; -778; -838;	BJ, 878P 265 229 039 286	CF, P B:	CG, 1 2 2	CI, 1999 1999 1999 2001	CM, 0113 0225 1022 0207							NE,			

AΒ

This invention relates to the use of a group of heteroaryl ureas (I; for example, N-(2-methoxy-3-quinoly1)-N'-[4-[3-(N-methoxy-3-quinoly1)]methylcarbamoyl)phenoxy]phenyl]urea) contg. N in treating p38 mediated diseases, and pharmaceutical compns. for use in such therapy. I is A-NHC(O)NH-B or a pharmaceutically acceptable salt thereof, wherein A is a substituted or unsubstituted pyridyl, quinolinyl or isoquinolinyl group, B is a substituted or unsubstituted, up to tricyclic aryl or heteroaryl

moiety of up to 50 C atoms with a cyclic structure bound directly to N, contg. at least 5 cyclic members with 0-4 members of groups consisting of N, O and S. Information about the substituents for A and B are given in the claims. Although the methods of prepn. are not claimed, 37 example prepns. are included as well as examples of prepn. of intermediates. No pharmacol. data is included.

432050-29-8P, N-(3-Isoquinolyl)-N'-[4-[2-(N-methylcarbamoyl)-4-pyridyloxy]phenyl]urea 432050-35-6P, N-(3-Isoquinolyl)-N'-(4-methylphenyl)urea 432050-36-7P, N-(3-Isoquinolyl)-N'-(4-fluorophenyl)urea 432050-37-8P, N-(3-Isoquinolyl)-N'-(2,3-dichlorophenyl)urea 432050-38-9P, N-(3-Isoquinolyl)-N'-(1-naphthyl)urea 432050-39-0P, N-(3-Isoquinolyl)-N'-[4-(4-pyridinylmethyl)phenyl]urea 432050-45-8P 432050-52-7P, N-(Isoquinol-3-yl)-N'-(4-(3-(methylcarbamoyl)phenoxy)phenyl)urea RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heteroaryl ureas contg. nitrogen hetero-atoms as p38 kinase inhibitors)

RN 432050-29-8 CAPLUS

CN 2-Pyridinecarboxamide, 4-[4-[[(3-isoquinolinylamino)carbonyl]amino]phenoxy ]-N-methyl- (9CI) (CA INDEX NAME)

RN 432050-35-6 CAPLUS

CN Urea, N-3-isoquinolinyl-N'-(4-methylphenyl)- (9CI) (CA INDEX NAME)

RN 432050-36-7 CAPLUS

CN Urea, N-(4-fluorophenyl)-N'-3-isoquinolinyl- (9CI) (CA INDEX NAME)

$$\bigcap_{N} NH - C - NH - F$$

RN 432050-37-8 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-3-isoquinolinyl- (9CI) (CA INDEX NAME)

09/838,286

RN 432050-38-9 CAPLUS

CN Urea, N-3-isoquinolinyl-N'-1-naphthalenyl- (9CI) (CA INDEX NAME)

RN 432050-39-0 CAPLUS

CN Urea, N-3-isoquinolinyl-N'-[4-(4-pyridinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 432050-45-8 CAPLUS

CN Urea, N-3-isoquinolinyl-N'-[4-(4-pyridinyloxy)phenyl]- (9CI) (CA INDEX NAME)

RN 432050-52-7 CAPLUS

CN Benzamide, 3-[4-[[(3-isoquinolinylamino)carbonyl]amino]phenoxy]-N-methyl-(9CI) (CA INDEX NAME)

(Uses)

NAME)

RN

CN

kinase)

432050-45-8 CAPLUS

(prepn. of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf

Urea, N-3-isoquinolinyl-N'-[4-(4-pyridinyloxy)phenyl]- (9CI) (CA INDEX

L18 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2003 ACS

```
ΑN
     2002:615574 CAPLUS
DN
     137:169425
ΤI
     Preparation of N-aryl-N'-[(acylphenoxy)phenyl]ureas as raf kinase
     Dumas, Jacques; Riedl, Bernd; Khire, Uday; Wood, Jill E.; Sibley, Robert
IN
     N.; Monahan, Mary-Katherine; Renick, Joel; Gunn, David E.; Lowinger,
     Timothy B.; Scott, William J.; Smith, Roger A.
PA
     Bayer Corporation, USA
     PCT Int. Appl., 125 pp.
SO
     CODEN: PIXXD2
ĎΤ
     Patent
LΑ
     English
FAN.CNT 3
     PATENT NO.
                      KIND
                            DATE
                                            APPLICATION NO.
                                                             DATE
                                            -----
PΙ
     WO 2002062763
                       A2
                            20020815
                                           WO 2002-US3361
                                                             20020207
     WO 2002062763
                       A3
                            20021010
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
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         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2002165394
                            20021107
                       Α1
                                          US 2001-777920 20010207
PRAI US 2001-777920
                            20010207
                       Α
     US 1999-115877P
                       Ρ
                            19990113
     US 1999-257266
                       B2
                            19990225
     US 1999-425228
                       B2
                            19991022
     US 2001-758548
                       A2
                            20010112
OS
    MARPAT 137:169425
GΙ
```

AB Title compds., e.g., RNHCONHZOR1 [I; R = C6H4(CMe3)-3, 2-methoxy-5-trifluoromethylphenyl, 4-chloro-3-trifluoromethylphenyl, 2-methoxy-3-quinolyl, etc.; R1 = (un)substituted acylphenyl,

ΙI

-acylpyridinyl, etc.;  $Z = (un) \, substituted \, 1,3-$  or -1,4-phenylene] were prepd. Thus,  $4-(H2N) \, C6H4OC6H4 \, (CONHMe)-4 \, (prepn. given)$  was condensed with  $3-(Me3C) \, C6H4NH2$  and  $CO(OCCl3) \, 2$  to give title compd. II. Data for biol. activity of title compds. were given.

IT 432050-52-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-aryl-N'-[(acylphenoxy)phenyl]ureas as raf kinase
inhibitors)

RN 432050-52-7 CAPLUS

CN Benzamide, 3-[4-[[(3-isoquinolinylamino)carbonyl]amino]phenoxy]-N-methyl-(9CI) (CA INDEX NAME)

L18 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2003 ACS

AN 2002:591913 CAPLUS

DN 137:150215

TI Cdk4 and/or Cdk6 inhibitors with biaryl ureas and their salts as antitumor agents

IN Hatayama, Satoshi; Hayashi, Kyoko; Honma, Mitsuki; Takahashi, Ikuko

PA Banyu Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 194 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
				<del>-</del>		
 JP 2002220338 JP 2001-18755 MARPAT 137:15021	A2	20020809 20010126	JP 2001-18755	20010126		

$$X = Z$$
 $X = Z$ 
 $Y$ 
 $R^3$ 
 $HN$ 
 $NHAr$ 
 $R^4$ 
 $R^5$ 
 $O$ 
 $I$ 

AB This invention relates to the general structures (I; Ar = N-contg. hetero arom. ring, X, Z = C, etc.; Y = CO, etc.; R1-R5 = H, etc.) and their salts as Cdk4 and/or Cdk6 inhibitors. I have antiproliferative effects on

cancer cells and are potential antitumor agents. Formulation examples of I capsules, tablets, and injections were given.

IT 322685-81-4

> RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Cdk4 and/or Cdk6 inhibitors with biaryl ureas and their salts as antitumor agents)

RN 322685-81-4 CAPLUS

CN Urea, N-3-isoquinolinyl-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

```
ANSWER 6 OF 6 CAPLUS COPYRIGHT 2003 ACS
```

AN2001:78363 CAPLUS

DN 134:147614

TIPreparation of N,N'-biarylurea derivatives as inhibitors of cyclin-dependent kinases (Cdk4 and Cdk6)

IN Hayama, Takashi; Hayashi, Kyoko; Honma, Mitsutaka; Takahashi, Ikuko

PA Banyu Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 460 pp. CODEN: PIXXD2

DTPatent

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LΑ
     Japanese
FAN.CNT 1
     PATENT NO.
                       KIND DATE
                                              APPLICATION NO.
                                                                 DATE
                                              -----
PΙ
     WO 2001007411
                       A1
                              20010201
                                              WO 2000-JP4991
                                                                 20000726
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              SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG,
              KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
              DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
              CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     JP 2001106673
                        Α2
                              20010417
                                              JP 2000-274175
                                                                 20000726
     EP 1199306
                              20020424
                        Α1
                                              EP 2000-949909
                                                                 20000726
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO, MK, CY, AL
PRAI JP 1999-211384
                              19990726
                        Α
     WO 2000-JP4991
                        W
                              20000726
OS
     MARPAT 134:147614
GI
```

N-(hetero)aryl-N'-heterocyclylurea derivs. represented by general formula AΒ (I) [wherein Ar represents a nitrogenous heterocyclic arom. group such as (un) substituted pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, pyrazolyl, pyrrolyl, imidazolyl, indolyl, isoindolyl, quinolyl, isoquinolyl, benzothiazolyl, or benzoxazolyl; X and Z each represents C or N or together with R1 or R2 and/or R3 represent CH or N; Y represents CO, SO, or SO2; R1 represents hydrogen, (un) substituted lower alkyl, Y3-W2-Y4-R5, etc.; wherein R5 = H, (un) substituted lower alkyl, lower alkenyl, lower alkynyl, lower cycloalkyl, aryl, imidazolyl, isoxazolyl, isoquinolyl, isoindolyl, indazolyl, indolyl, indolidinyl, isothiazolyl, ethylenedioxyphenyl, oxazolyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, pyrazolyl, quinoxalinyl, quinolyl, etc.; W2 = ingle bond, O, S, SO, SO2, N-(un) substituted NH, SO2NH, NHSO2NH, NHSO2, CONH, NHCO, NHCONH, NHCO2, etc.; Y3, Y4 = single bond, linear or branched lower alkylene; R2 and R3 each represents hydrogen, lower alkyl or alkoxy, or Y3-W2-Y4-R5 (Y3, W2, Y4, R5 = same as above), or one of R2 and R3 together with R1 and X forms cyclohexane, cyclopentane, piperidine, 3,4,5,6-tetrahydro-1,3-oxazine, tetrahydrothiopyran, pyrrolidine, tetrahydrothiofuran, oxazolidine ring, etc.; R4 and R5 represent H, halo, OH, amino, or Y3-W2-Y4-R5 (Y3, W2, Y4, R5 = same as above)] or salts thereof are prepd. The compds. (e.g. II) have a remarkable proliferation-inhibitory effect on tumor cells. A Cdk4 and/or Cdk6 inhibitor for use in the therapy of malignant tumor can hence be provided. II showed IC50 of 0.061 and 0.019 .mu.M against cyclin-D1-Cdk4 and cyclin-D2-Cdk4, resp., vs. 0.36 and 0.056 .mu.M, resp., for (.+-.)-flavopiridol, and inhibited the proliferation of HCT116 and MKN-1 cells with IC50 of 0.013 and 0.10 .mu.M, resp., vs. 0.15 and 0.87 .mu.M, resp., for (.+-.)-flavopiridol. Pharmaceutical formulations contg. I were prepd.

ΙI

## IT 322685-81-4P

RN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-(hetero)aryl-N'-heterocyclylurea derivs. as inhibitors of cyclin-dependent kinases (Cdk4 and Cdk6) and antitumor agents) 322685-81-4 CAPLUS

CN Urea, N-3-isoquinolinyl-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file reg COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 29.92 632.01 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE -3.91-20.19

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STRUCTURE FILE UPDATES: 1 APR 2003 HIGHEST RN 501325-53-7 DICTIONARY FILE UPDATES: 1 APR 2003 HIGHEST RN 501325-53-7

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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Uploading 09838286.str

L19 STRUCTURE UPLOADED

=> d L19 HAS NO ANSWERS L19

STR

G1 H G2 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s 119

SAMPLE SEARCH INITIATED 13:02:07 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 27 TO ITERATE

100.0% PROCESSED 27 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 229 TO 851

PROJECTED ANSWERS: 0 TO 0

L20 0 SEA SSS SAM L19

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FULL SEARCH INITIATED 13:02:16 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 575 TO ITERATE

100.0% PROCESSED 575 ITERATIONS 38 ANSWERS

SEARCH TIME: 00.00.01

L21 38 SEA SSS FUL L19

=> file caplus, uspatful COST IN U.S. DOLLARS

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 148.15 780.16

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE ENTRY SESSION 0.00 -20.19

FILE 'CAPLUS' ENTERED AT 13:02:25 ON 02 APR 2003

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CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)
=> s 121
L22
            23 L21
=> dup rem 122
PROCESSING COMPLETED FOR L22
             21 DUP REM L22 (2 DUPLICATES REMOVED)
L23
=> d 1-21 bib, abs, hitstr
L23 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2003 ACS
AN
     2003:133223 CAPLUS
DN
     138:169972
TI
     Preparation of substituted N-naphthyl-N'-phenylureas and N-substituted
     naphthylacetamides as vanilloid receptor 1 (VR1) antagonists
IN
     Yura, Takeshi; Mogi, Munet; Ikegami, Yuka; Masuda, Tsutoma; Kokubo,
     Toshio; Urbahns, Klaus; Lowinger, Timothy B.; Yoshida, Nagahiro; Freitag,
     Joachim; Meier, Heinrich; Wittka-Nopper, Reilinde; Marumo, Makiko; Shiroo,
     Masahiro; Tajimi, Masaomi; Takeshita, Keisuke; Moriwaki, Toshuda; Tsukimi,
     Yasuhiro
     Bayer AG, Germany
PA
     PCT Int. Appl., 186 pp.
SO
     CODEN: PIXXD2
DT
     Patent
    English
LΑ
FAN.CNT 1
     PATENT NO.
                  KIND DATE
                                          APPLICATION NO. DATE
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PΙ
     WO 2003014064
                           20030220
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                                          WO 2002-EP8493 20020731
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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     JP 2003055209
                      A2
                           20030226
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                                                           20010731
PRAI JP 2001-232503
                      Α
                           20010731
     JP 2001-392310
                      Α
                           20011125
OS
    MARPAT 138:169972
GΙ
```

AB The title compds. R7Q(Y)C(O)NXR6 [X = (un)substituted Ph, cycloalkyl optionally fused by benzene, thienyl, quinolyl, etc.; Q = CH, N; R6, R7 = H, Me; Y = substituted 1-naphthyl] or their salts which have vanilloid receptor 1 (VR1) antagonistic activity, and therefore are useful for the prophylaxis and treatment of diseases assocd. with VR1 activity, in particular for the treatment of urinary incontinence, overactive bladder, chronic pain, neuropathic pain, postoperative pain, rheumatoid arthritic pain, neuralgia, neuropathies, algesia, nerve injury, ischemia, neurodegeneration, stroke, incontinence and/or inflammatory disorders, were prepd. Thus, reacting 8-amino-5,7-dichloro-2-naphthol (prepn. given) with 3-chlorophenyl isocyanate in 1,4-dioxane afforded 39% I which showed IC50 of .ltoreq. 10 nM for VR1.

Ι

## IT 497150-30-8P

RN

CN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted N-naphthyl-N'-phenylureas and N-substituted naphthylacetamides as vanilloid receptor 1 (VR1) antagonists) 497150-30-8 CAPLUS

Urea, N-[7-(acetyloxy)-2,4-dichloro-1-naphthalenyl]-N'-3-quinolinyl- (9CI)
 (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2003 ACS DUPLICATE 1

AN 2002:850357 CAPLUS

DN 137:352907

TI Preparation of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf

kinase for the treatment of tumors and/or cancerous cell growth Dumas, Jacques; Riedl, Bernd; Khire, Uday; Wood, Jill E.; Robert, Sibley IN N.; Monahan, Mary-Katherine; Renick, Joel; Gunn, David E.; Lowinger, Timothy B.; Scott, William J.; Smith, Roger A. Bayer Corporation, USA

PΑ

SO U.S. Pat. Appl. Publ., 63 pp., Cont.-in-part of U.S. Ser. No. 758,548. CODEN: USXXCO

DTPatent

English LΑ

EVM CMM 3

FAN.	AN.CNT 3 PATENT NO.				ND	DATE			A	PPLI	CATI	ON N	0.	DATE			
PI	US 200 WO 200	S 2002165394 S 2002137774 O 2002062763			1 2	2002 2002 2002 2002	0926 0815		US 2001-777920 20010207 US 2001-907970 20010719 WO 2002-US3361 20020207					0719			
	WO 200	20627	63	Α	3	2002	1010										
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		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PH,	PL,
		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,
														RU,			•
	RW	: GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,
														NL,			
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
PRAI	US 199	9-115	877P	Р		1999	0113										
	US 199	9-257	266	B	2	1999	0225										
	US 1999-425228			В	2	1999	1022										
	US 200	1-758	548	A	2	2001	0112										
	US 200	1-777	920	Α		2001	0207										
os	MARPAT	137:	3529	07													
GI																	

Title compds. B-NHCONH-L-(M-L1)q (I) [B = (un)substituted pyridyl, AΒ quinolinyl, isoquinolinyl; L = 5 or 6 membered cyclic structure; L1 =substituted cyclic moiety having at least 5 members; M = bridging group having at least one atom; q = 1-3; with proviso that L and L1 contain 0-4hetero atoms, e.g., N, O and S] and their pharmaceutically acceptable salts were prepd. For example, coupling of aniline II, e.g., prepd. from Et 3-hydroxybenzoate in 4-steps, with bis(trichloromethyl)carbonate followed by 3-tert-butylaniline afforded urea III. In in vitro raf kinase assays, 112-specific examples of compds. I inhibited kinase activity with  $_{\cdot}$  IC50 values ranging from 10 nM-10 .mu.M. Compds. I are useful for the treatment of cancerous cell growth mediated by raf kinase.

IT 432050-22-1P 432050-23-2P 432050-24-3P 432050-25-4P 432050-26-5P 432050-27-6P 432050-28-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf kinase)

RN 432050-22-1 CAPLUS

CN 2-Pyridinecarboxamide, 4-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amin o]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

RN 432050-23-2 CAPLUS

CN Benzamide, 3-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

RN 432050-24-3 CAPLUS

CN 2-Pyridinecarboxamide, 4-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amin o]phenoxy]- (9CI) (CA INDEX NAME)

RN 432050-25-4 CAPLUS

CN 2-Pyridinecarboxamide, 4-[3-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amin o]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

RN 432050-26-5 CAPLUS

CN 2-Pyridinecarboxamide, 4-[3-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amin o]phenoxy]- (9CI) (CA INDEX NAME)

RN 432050-27-6 CAPLUS

CN Benzamide, 3-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amino]phenoxy]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 432050-28-7 CAPLUS

CN Benzamide, 2-methoxy-5-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

L23 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2003 ACS DUPLICATE 2

AN 2002:409267 CAPLUS

DN 137:6098

TI Heteroaryl ureas containing nitrogen hetero-atoms as p38 kinase inhibitors

IN Dumas, Jacques; Riedl, Bernd; Khire, Uday; Sibley, Robert N.; Hatoum-Mokdad, Holia; Monahan, Mary-katherine; Gunn, David E.; Lowinger, Timotthy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.

PA Bayer Corporation, USA

SO U.S. Pat. Appl. Publ., 39 pp., Cont.-in-part of U.S. Ser. No. 778,039. CODEN: USXXCO

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Patent
LA
         English
FAN.CNT 2
         PATENT NO.
                                       KIND
                                                  DATE
                                                                             APPLICATION NO.
                                                                                                             DATE
                                       ____
         US 2002065296
PΙ
                                         Α1
                                                   20020530
                                                                              US 2001-838286
                                                                                                             20010420
         WO 2002085859
                                         A1
                                                   20021031
                                                                              WO 2002-US12064 20020417
                W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
                        PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
                       US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
                RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
                       CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
                       BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI US 1999-115878P
                                                   19990113
                                      P
         US 1999-257265
                                         В1
                                                   19990225
         US 1999-425229
                                         A2
                                                   19991022
         US 2001-778039
                                         A2
                                                   20010207
         US 2001-838286
                                                   20010420
                                         Α
OS
         MARPAT 137:6098
AΒ
         This invention relates to the use of a group of heteroaryl ureas (I; for
         example, N-(2-methoxy-3-quinoly1)-N'-[4-[3-(N-methoxy-3-quinoly1)]
         methylcarbamoyl)phenoxy]phenyl]urea) contg. N in treating p38 mediated
         diseases, and pharmaceutical compns. for use in such therapy. I is
         A-NHC(O)NH-B or a pharmaceutically acceptable salt thereof, wherein A is a
         substituted or unsubstituted pyridyl, quinolinyl or isoquinolinyl group, B
         is a substituted or unsubstituted, up to tricyclic aryl or heteroaryl
         moiety of up to 50 C atoms with a cyclic structure bound directly to N,
         contg. at least 5 cyclic members with 0-4 members of groups consisting of
         N, O and S. Information about the substituents for A and B are given in
         the claims. Although the methods of prepn. are not claimed, 37 example
         prepns. are included as well as examples of prepn. of intermediates. No
         pharmacol. data is included.
ΙT
         432050-22-1P, N-(2-Methoxy-3-quinolinyl)-N'-[4-(2-(N-
         Methylcarbamyl)-4-pyridyloxy)phenyl]urea 432050-23-2P,
         N-(2-Methoxy-3-quinolyl)-N'-[4-[3-(N-methylcarbamoyl)phenoxy]phenyl]urea
         432050-24-3P, N-(2-Methoxy-3-quinolyl)-N'-[4-(2-carbamoyl-4-
         pyridyloxy)phenyl]urea 432050-25-4P, N-(2-Methoxy-3-quinolyl)-N'-
         [3-[2-(N-methylcarbamoyl)-4-pyridyloxy]phenyl]urea 432050-26-5P,
         N-(2-Methoxy-3-quinolyl)-N'-[3-(2-carbamoyl-4-pyridyloxy)phenyl]urea
         432050-27-6P, N-(2-Methoxy-3-quinoly1)-N'-[4-[3-(N-
         isopropylcarbamoyl)phenoxy]phenyl]urea 432050-28-7p,
         N-(2-Methoxy-3-quinolyl)-N'-[4-[4-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methoxy-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-3-(N-methox)-
         methylcarbamoyl)phenoxy]phenyl]urea 432050-40-3P,
         N-(3-Quinolyl)-N'-[4-(4-pyridinylmethyl)phenyl]urea 432050-46-9P
         432050-47-0P 432050-48-1P 432050-49-2P
         432050-50-5P 432050-53-8P
         RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
         (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
         (Uses)
               (prepn. of heteroaryl ureas contg. nitrogen hetero-atoms as p38 kinase
              inhibitors)
RN
         432050-22-1 CAPLUS
CN
         2-Pyridinecarboxamide, 4-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amin
         o]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)
```

RN 432050-23-2 CAPLUS

CN Benzamide, 3-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

RN 432050-24-3 CAPLUS

CN 2-Pyridinecarboxamide, 4-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amin o]phenoxy]- (9CI) (CA INDEX NAME)

RN 432050-25-4 CAPLUS

CN 2-Pyridinecarboxamide, 4-[3-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amin o]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

RN 432050-26-5 CAPLUS

CN 2-Pyridinecarboxamide, 4-[3-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amin o]phenoxy]- (9CI) (CA INDEX NAME)

RN 432050-27-6 CAPLUS

CN Benzamide, 3-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amino]phenoxy]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 432050-28-7 CAPLUS

CN Benzamide, 2-methoxy-5-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

RN 432050-40-3 CAPLUS

CN Urea, N-[4-(4-pyridinylmethyl)phenyl]-N'-3-quinolinyl- (9CI) (CA INDEX NAME)

RN 432050-46-9 CAPLUS

CN Urea, N-(2-methoxy-3-quinolinyl)-N'-[4-(4-pyridinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 432050-47-0 CAPLUS

CN Urea, N-(2-methoxy-3-quinolinyl)-N'-[4-(4-pyridinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

RN 432050-48-1 CAPLUS

CN Urea, N-(2-methoxy-3-quinolinyl)-N'-[4-(4-pyridinyloxy)phenyl]- (9CI) (CA INDEX NAME)

RN 432050-49-2 CAPLUS

CN Urea, N-[4-[(4-methoxyphenyl)methylamino]phenyl]-N'-(2-methoxy-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 432050-50-5 CAPLUS

CN Urea, N-(2-methoxy-3-quinolinyl)-N'-[4-(4-pyridinylthio)phenyl]- (9CI) (CA INDEX NAME)

RN 432050-53-8 CAPLUS

CN Urea, N-[4-[(2,3-dihydro-1,3-dioxo-1H-isoindol-5-yl)oxy]phenyl]-N'-(2-methoxy-3-quinolinyl)- (9CI) (CA INDEX NAME)

CN

(CA INDEX NAME)

```
ΑN
     2002:832761 CAPLUS
DN
     137:337791
     Preparation of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf
TΙ
     Dumas, Jacques; Riedl, Bernd; Khire, Uday; Sibley, Robert N.;
IN
     Hatoum-Mokdad, Holia; Monahan, Mary-Katherine; Gunn, David E.; Lowinger,
     Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.
PA
     Bayer Corporation, USA
SO
     PCT Int. Appl., 65 pp.
     CODEN: PIXXD2
DT
     Patent
ĿA
     English
FAN.CNT 1
     PATENT NO.
                       KIND DATE
                                              APPLICATION NO.
                                              _____
                       ----
PΙ
     WO 2002085857
                        A2
                              20021031
                                              WO 2002-US12066 20020418
     WO 2002085857
                        Α3
                              20030116
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
              PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
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         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI US 2001-838285
                              20010420
                        Α
OS
     MARPAT 137:337791
     Title compds. A-D-B (I) [D = NHCONH; A = (un)substituted t-butylpyridyl,
AΒ
     etc.; B = (un) substituted bridged cyclic structure, etc.] and analogs were
     prepd. For instance, 4-tert-butyl-2-aminopyridine was coupled to
     4-(4-pyridylmethyl)aniline (CH2Cl2, CDI, 0.degree.) to give
     N-(4-tert-butylpyridyl)-N'-[4-(4-pyridinylmethyl)phenyl]urea as a white
     solid. Example compds. had IC50 between 10nM and 10.mu.M for raf kinase.
     I are useful for the treatment of cancerous cell growth mediated by raf
     kinase.
IΤ
     432050-22-1P 432050-46-9P 432050-47-0P
     432050-48-1P 432050-49-2P 473915-55-8P
     473915-57-0P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
         (prepn. of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf
        kinase)
     432050-22-1 CAPLUS
RN
CN
     2-Pyridinecarboxamide, 4-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amin
     o]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)
RN
     432050-46-9 CAPLUS
```

Urea, N-(2-methoxy-3-quinolinyl)-N'-[4-(4-pyridinylmethyl)phenyl]- (9CI)

RN 432050-47-0 CAPLUS

CN Urea, N-(2-methoxy-3-quinolinyl)-N'-[4-(4-pyridinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

RN 432050-48-1 CAPLUS

CN Urea, N-(2-methoxy-3-quinolinyl)-N'-[4-(4-pyridinyloxy)phenyl]- (9CI) (CA INDEX NAME)

RN 432050-49-2 CAPLUS

CN Urea, N-[4-[(4-methoxyphenyl)methylamino]phenyl]-N'-(2-methoxy-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 473915-55-8 CAPLUS

CN Urea, N-(2-methoxy-3-quinolinyl)-N'-[3-(4-pyridinylthio)phenyl]- (9CI) (CA INDEX NAME)

RN 473915-57-0 CAPLUS

CN Urea, N-[4-[[(4-methoxyphenyl)methyl]amino]phenyl]-N'-(2-methoxy-3-quinolinyl)- (9CI) (CA INDEX NAME)

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ANSWER 5 OF 21 CAPLUS COPYRIGHT 2003 ACS
L23
AN
     2002:615574 CAPLUS
     137:169425
DN
     Preparation of N-aryl-N'-[(acylphenoxy)phenyl]ureas as raf kinase
ΤI
     inhibitors
     Dumas, Jacques; Riedl, Bernd; Khire, Uday; Wood, Jill E.; Sibley, Robert
IN
     N.; Monahan, Mary-Katherine; Renick, Joel; Gunn, David E.; Lowinger,
     Timothy B.; Scott, William J.; Smith, Roger A.
PA
     Bayer Corporation, USA
SO
     PCT Int. Appl., 125 pp.
     CODEN: PIXXD2
DΤ
     Patent
     English
LΑ
FAN.CNT 3
     PATENT NO.
                      KIND
                            DATE
                                            APPLICATION NO.
                                                             DATE
PΙ
     WO 2002062763
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                                                             20020207
     WO 2002062763
                       A3
                            20021010
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             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
             US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2002165394
                       Α1
                            20021107
                                           US 2001-777920 20010207
PRAI US 2001-777920
                            20010207
                       Α
     US 1999-115877P
                       Ρ
                            19990113
     US 1999-257266
                       B2
                            19990225
     US 1999-425228
                       B2
                            19991022
     US 2001-758548
                       A2
                            20010112
     MARPAT 137:169425
OS
GI
```

AB Title compds., e.g., RNHCONHZOR1 [I; R = C6H4(CMe3)-3, 2-methoxy-5-trifluoromethylphenyl, 4-chloro-3-trifluoromethylphenyl, 2-methoxy-3-quinolyl, etc.; R1 = (un)substituted acylphenyl, -acylpyridinyl, etc.; Z = (un)substituted 1,3- or -1,4-phenylene] were

II

prepd. Thus, 4-(H2N)C6H4OC6H4(CONHMe)-4 (prepn. given) was condensed with 3-(Me3C)C6H4NH2 and CO(OCCl3)2 to give title compd. II. Data for biol. activity of title compds. were given.

IT 432050-22-1P 432050-23-2P 432050-24-3P 432050-25-4P 432050-26-5P 432050-27-6P

432050-28-7P 432050-53-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-aryl-N'-[(acylphenoxy)phenyl]ureas as raf kinase
inhibitors)

RN 432050-22-1 CAPLUS

CN 2-Pyridinecarboxamide, 4-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amin o]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

RN 432050-23-2 CAPLUS

CN Benzamide, 3-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

RN 432050-24-3 CAPLUS

CN 2-Pyridinecarboxamide, 4-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amin o]phenoxy]- (9CI) (CA INDEX NAME)

RN 432050-25-4 CAPLUS

CN 2-Pyridinecarboxamide, 4-[3-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amin o]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

RN 432050-26-5 CAPLUS

CN 2-Pyridinecarboxamide, 4-[3-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amin o]phenoxy]- (9CI) (CA INDEX NAME)

RN 432050-27-6 CAPLUS

CN Benzamide, 3-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amino]phenoxy]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 432050-28-7 CAPLUS

CN Benzamide, 2-methoxy-5-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

RN 432050-53-8 CAPLUS

CN Urea, N-[4-[(2,3-dihydro-1,3-dioxo-1H-isoindol-5-yl)oxy]phenyl]-N'-(2-methoxy-3-quinolinyl)- (9CI) (CA INDEX NAME)

09/838,286

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L23 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2003 ACS
ΑN
     2002:240716 CAPLUS
     136:279196
DN
     Preparation and use of amino alcohol derivatives for treatment of urinary
TI
     incontinence
IN
     Sakurai, Minoru; Washizuka, Kenichi; Hamashima, Hitoshi; Tomishima,
     Yasuyo; Imanishi, Masashi; Nakajima, Yutaka; Ohtake, Hiroaki; Korada,
     Satoru; Murata, Masayoshi; Kayakiri, Hiroshi; Fujii, Naoaki; Taniguchi,
PA
     Fujisawa Pharmaceutical Co., Ltd., Japan
     PCT Int. Appl., 112 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                      KIND
                            DATE
                                           APPLICATION NO.
                                                             DATE
PΙ
    WO 2002024635
                       A2
                            20020328
                                           WO 2001-JP8155
                                                             20010919
     WO 2002024635
                       Α3
                            20030220
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                            20020402
                                           AU 2001-90246
    AU 2001090246
                       Α5
                                                          20010919
PRAI AU 2000-340
                       Α
                            20000925
    WO 2001-JP8155
                       W
                            20010919
    MARPAT 136:279196
OS
GΙ
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$$\begin{array}{c|c}
H \\
N \\
O \\
O \\
O \\
H \\
O \\
O \\
O \\
O \\
II$$

Title compds. I [X1 = bond, OCH2; X2 = (NR2CO)n, NHCOY1; R2 = H, alkyl; n = 1-2; Y1 = NR3; R3 = H, alkyl, etc.; R1 = H, amino protective group; A = Ph, indolyl, carbazolyl; B = H, halo, alkyl, alkoxycarbonyl, cycloalkyl, heterocyclic, naphthyl, 1,2,3,4-tetrahydronaphthyl, benzyl, phenyl] were prepd. For instance, (2S)-2-(phenoxymethyl)oxirane was reacted with (2S)-2-amino-3-(4-nitrophenyl)-1-propanol to give (2S)-3-(4-nitrophenyl)-2-[((2S)-2-hydroxy-3-phenoxypropyl)amino]-1-propanol. This intermediate was protected as the N-Boc deriv. which was then reduced (MeOHaq, 10% Pd-C, H2-1 atm) to give the corresponding aminophenyl deriv. Carbodiimide coupling of this amine with 3-carboxypyrrole followed by deprotection provided II. II showed 2.6 .+-. 0.05 mm Hg increase in intravesical pressure (compared to 7.0 .+-. 1.0 mm Hg control) induced by carbachol in anesthetized dog. I are useful for the prophylactic and/or the therapeutic treatment of pollakiures or urinary incontinence.

IT 406169-80-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; prepn. and use of amino alc. derivs. for treatment of urinary incontinence)

RN 406169-80-0 CAPLUS

CN Urea, N-[4-[(2S)-2-[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-3-hydroxypropyl]phenyl]-N'-3-quinolinyl-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 406169-79-7 CMF C27 H27 C1 N4 O3

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

275800-92-5P 275800-94-7P

275800-92-5 USPATFULL

RN

```
L23 ANSWER 7 OF 21 USPATFULL
       2002:6007 USPATFULL
ΑN
TI
       Heteroaryl-aryl ureas as IGF-1 receptor antagonists
IN
       Kozlowski, Michael R., Palo Alto, CA, United States
       Lum, Robert T., Palo Alto, CA, United States
       Schow, Steven R., Redwood Shores, CA, United States
       Villar, Hugo O., Newark, CA, United States
       Wick, Micheal M., Woodside, CA, United States
PΑ
       Telik, Inc., South San Francisco, CA, United States (U.S. corporation)
PΙ
       US 6337338
                          В1
                               20020108
ΑI
       US 1999-464360
                               19991215 (9)
PRAI
       US 1998-112513P
                           19981215 (60)
DT
       Utility
FS
       GRANTED
EXNAM
       Primary Examiner: Rotman, Alan L.; Assistant Examiner: Desai, Rita
LREP
       Heller Ehrman White & McAuliffe LLP
CLMN
       Number of Claims: 22
ECL
       Exemplary Claim: 1
DRWN
       0 Drawing Figure(s); 3 Drawing Page(s)
LN.CNT 983
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AΒ
       Methods for treating diseases associated with the activity of the
       insulin growth factor-1 receptor (IGF-1R), such as cancer, are provided.
       Methods for inhibiting cell growth and proliferation, especially of
       tumor cells, and promoting apoptosis are also provided. Each of these
       methods employs the use of a heteroaryl-aryl urea compound as an
       antagonist for IGF-1R.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
```

(prepn. of heteroaryl-aryl ureas as IGF-1 receptor antagonists)

CN Urea, N-(3-chloro-4-methylphenyl)-N'-3-quinolinyl- (9CI) (CA INDEX NAME)

RN 275800-94-7 USPATFULL

CN Urea, N-(3-chlorophenyl)-N'-3-quinolinyl- (9CI) (CA INDEX NAME)

```
L23 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2003 ACS
```

AN 2000:420965 CAPLUS

DN 133:43512

TI Preparation of heteroaryl-aryl ureas as IGF-1 receptor antagonists

IN Kozlowski, Michael R.; Lum, Robert T.; Schow, Steven R.; Villar, Hugo O.; Wick, Michael M.

PA Telik, Inc., USA

SO PCT Int. Appl., 49 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1																		
	PATENT NO.			KI	KIND DATE			APPLICATION NO. DATE										
PI	WO 2	20000	0354	55	Α	A1 20000622		WO 1999-US30300 19991215										
		W:													CA,			
			CU,	CZ,	CZ,	DE,	DE,	DK,	DK,	DM,	EE,	EE,	ES,	FI,	FI,	GB,	GD,	GE,
			GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KR,	KZ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,
			PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,
			UG,	UZ,	VN,	YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM	
		RW:	GH,	GM,	ΚE,	LS,	MW,	SD,	SL,	SZ,	TZ,	ŪG,	ZW,	AT,	BE,	CH,	CY,	DE,
			DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,
			CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG				
	US 6	53373	338		В	1	2002	0108		ប	s 19:	99-4	6436	0	19991215			
PRAI	US 1	998-	-112	513P	P		1998	1215										
os	MARE	PAT :	133:4	43512	2													
GI																		

Ι

AB The title compds. I [R1-R5 = H, alkyl, OH, alkoxy, etc.; R6 = heterocyclic residue], antagonists for IGF-1R, were prepd. E.g., N-(3-chloro-4-methylphenyl)-N'-(2-methyl-4-quinolinyl)urea was prepd.

RN 275800-92-5 CAPLUS

CN Urea, N-(3-chloro-4-methylphenyl)-N'-3-quinolinyl- (9CI) (CA INDEX NAME)

RN 275800-94-7 CAPLUS

CN Urea, N-(3-chlorophenyl)-N'-3-quinolinyl- (9CI) (CA INDEX NAME)

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 9 OF 21 USPATFULL AN 2000:168026 USPATFULL ΤI Bicyclic aryl or a bicyclic heterocyclic ring containing compounds having a combined 5HT.sub.1A, 5HT.sub.1B and 5HT.sub.1D receptor antagonistic activity Gaster, Laramie Mary, Bishop's Stortford, United Kingdom IN Wyman, Paul Adrian, Epping, United Kingdom SmithKline Beecham p.l.c., Brentford, United Kingdom (non-U.S. PA corporation) US 6159979 PΙ 20001212 WO 9847885 19981029 US 1999-403149 ΑI 19991015 (9) WO 1998-EP2265 19980414 19991015 PCT 371 date 19991015 PCT 102(e) date PRAI GB 1997-7876 19970418 GB 1998-1635 19980126 DT Utility Granted EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Patel, Sudhaker LREP Simon, Soma G., King, William T., Kinzig, Charles M. CLMN Number of Claims: 13 ECL Exemplary Claim: 1

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

No Drawings

DRWN

LN.CNT 1262

AB Novel bicyclic aryl/bicyclic heterocyclic ring containing compounds having a combined 5HT.sub.1A, 5HT.sub.1B and 5HT.sub.1D receptor antagonistic activity are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 215162-69-9P

(prepn. of bicyclic aryl or bicyclic heterocyclic ring contg. (4-methylpiperazin-1-yl)phenyl compds. having a combined 5HT1A, 5HT1B and 5HT1D receptor antagonistic activity)

RN 215162-69-9 USPATFULL

CN Urea, N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-N'-3-quinolinyl-(9CI) (CA INDEX NAME)

L23 ANSWER 10 OF 21 USPATFULL

AN 2000:146414 USPATFULL

TI Naphthols useful in antiviral methods

IN Kenyon, George L., San Francisco, CA, United States

Stauber, Margaret, Germantown, MD, United States

Maurer, Karl, Ross, CA, United States

Eargle, Dolan, San Francisco, CA, United States

Muscate, Angelika, Loerrach, Germany, Federal Republic of

Leavitt, Andrew, San Francisco, CA, United States

Roe, Diana C., Newark, CA, United States

Ewing, Todd J. A., San Francisco, CA, United States

Skillman, Jr., Allan G., San Francisco, CA, United States

Arnold, Edward, Belle Mead, NJ, United States

Kuntz, Irwin D., Greenbrae, CA, United States

Young, Malin, San Francisco, CA, United States

PA The Regents of the University of California, Oakland, CA, United States (U.S. corporation)

Rutgers, The University of New Jersey, New Brunswick, NJ, United States (U.S. corporation)

PI US 6140368

20001031

AI US 1998-72484

19980504 (9)

PRAI US 1997-45583P

19970505 (60)

DT Utility

FS Granted

EXNAM Primary Examiner: Geist, Gary; Assistant Examiner: Cane, L. Eric

LREP Majestic, Parsons, Siebert & Hsue P.C.

CLMN Number of Claims: 13

ECL Exemplary Claim: 1,4,5

DRWN 2 Drawing Figure(s); 1 Drawing Page(s)

LN.CNT 1538

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates to a novel class of compounds that are potent inhibitors of HIV reverse transcriptase and HIV integrase. In addition to being multienzyme inhibitors, the inventive compounds of the present invention are remarkable in at least two other respects. First, they do not appear to be toxic to cells at typical therapeutic concentrations. Second, they appear to be equally effective against mutant strains of HIV reverse transcriptase commonly found in patients

who have developed resistance to current reverse transcriptase inhibitors. Because the inventive compounds show promise in combatting viral resistance and are potent inhibitors of both HIV reverse transcriptase and integrase, they are ideal candidates for use in combination with existing therapies or alone in treating AIDS or HIV infection.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 207974-42-3

(prepn. of N,N'-bis(hydroxysulfonaphthyl)ureas and analogs as HIV reverse transcriptase and integrase inhibitors)

RN 207974-42-3 USPATFULL

CN 2-Naphthalenesulfonic acid, 4-hydroxy-7-[[(3-quinolinylamino)carbonyl]amin o]- (9CI) (CA INDEX NAME)

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L23 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2003 ACS
```

AN 1999:404951 CAPLUS

DN 131:58850

TI Preparation of quinolinepiperazine and quinolinepiperidine derivatives and their use as combined 5-HT1A, 5-HT1B, and 5-HT1D receptor antagonists

IN Gaster, Laramie Mary

PA Smithkline Beecham Plc, UK

SO PCT Int. Appl., 60 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

GΙ

ran.	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9931086 W: CA, JP,		19990624	WO 1998-EP7804	19981202
	•		, DE, DK, ES,	FI, FR, GB, GR, IE	, IT, LU, MC, NL,
	CA 2313125	AA	19990624	CA 1998-2313125	19981202
	EP 1047691	A1	20001102	EP 1998-965729	19981202
	R: BE, CH,	DE, ES	, FR, GB, IT,	LI, NL	
	JP 2002508366	Т2	20020319	JP 2000-539010	19981202
PRAI	GB 1997-26364	Α	19971212		
	GB 1997-26905	Α	19971219		
	GB 1998-317	Α	19980107		
	WO 1998-EP7804	W	19981202		
os	MARPAT 131:5885	0			

Ι

AB The title compds. I [Ra = substituted Ph, bicyclic aryl, heterocyclyl, etc.; L = YC(0)DG, C(0)DG, DGC(0) in which Y is -NH-, NR5 where R5 is C1-6alkyl, or Y is -CH2- or -O-; D is nitrogen, carbon or a CH group, or G is hydrogen or C1-6alkyl providing that D is nitrogen or a CH group, or G together with Rb1 forms a group W where W is (CR16R17)t where t is 2, 3 or 4 and R16 and R17 are independently hydrogen or C1-6alkyl or W is (CR16R17)u-J where u is 0, 1, 2 or 3 and J is oxygen, sulfur, CR16:CR17, CR16:N, :CR160, :CR16S or :CR16NR17 provided that u is not 0 when J is oxygen or sulfur; X is nitrogen or carbon; Rb1, Rb2 and Rb3 are independently hydrogen, halogen, hydroxy, C1-6alkyl, C2-6alkenyl, C3-6cycloalkyl, trifluoromethyl, C1-6alkoxy or aryl, or Rb1 together with G forms a group W as defined above; Rc is hydrogen or C1-6alkyl] were prepd. E.g., N-[4-(4-methylpiperazin-1-yl)quinolin-6-yl]-N'-[5-(pyridin-4-yl)naphth-1-yl]urea was prepd. Some examples of I had pKi values > 8.5 at 5-HT1A, 5-HT1B, and 5-HT1D receptors.

IT 227955-99-9P

RN

CN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of quinolinepiperazine and quinolinepiperidine derivs. and their use as combined 5-HT1A, 5-HT1B, and 5-HT1D receptor antagonists) 227955-99-9 CAPLUS

Urea, N-[4-(4-methyl-1-piperazinyl)-6-quinolinyl]-N'-3-quinolinyl- (9CI)
(CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 12 OF 21 USPATFULL

AN 1999:121357 USPATFULL

TI Certain substituted benzylamine derivatives a new class of neuropeptide Y1 specific ligands

IN Blum, Charles A., Guilford, CT, United States

Hutchison, Alan, Madison, CT, United States

Peterson, John M., New Haven, CT, United States

Neurogen Corporation, Branford, CT, United States (U.S. corporation) PA

US 5962455 19991005 PΤ

US 1997-897045 ΑI 19970718 (8) US 1996-22296P PRAI 19960723 (60)

DTUtility

FS Granted

EXNAM Primary Examiner: Bernhardt, Emily

LREP Ladas & Parry

CLMN Number of Claims: 11 ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 669

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention encompasses compounds of the formula ##STR1## and the pharmaceutically acceptable salts thereof wherein X.sub.1, X.sub.2, X.sub.3 represent organic or inorganic substituents, n is 1, 2, or 3, m is 2, 3, or 4, R.sub.1 -R.sub.4 are hydrogen or organic substituents, and B is nitrogen, carbon, sulfur or oxygen, useful in the diagnosis and treatment of feeding disorders such as obesity and bulimia and cardiovascular diseases such as essential hypertension and congestive heart failure due to the binding of these compounds to mammalian Neuropeptide Y1 receptors.

### CAS INDEXING IS AVAILABLE FOR THIS PATENT.

### 202472-67-1P 202472-73-9P 202472-74-0P

(prepn. of certain substituted benzylamine derivs. such as amides of cis-1-(3-aminophenyl)-1-(4-phenyl-1-piperazinyl)-4-methylcyclohexane as a new class of neuropeptide Y1 specific ligands)

RN 202472-67-1 USPATFULL

CN Urea, N-[3-[4-methyl-1-(4-phenyl-1-piperazinyl)cyclohexyl]phenyl]-N'-3quinolinyl-, trihydrochloride, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

### 3 HCl

RN202472-73-9 USPATFULL

CN Urea, N-[3-[3-methyl-1-(4-phenyl-1-piperazinyl)cyclohexyl]phenyl]-N'-3quinolinyl-, trihydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

## ●3 HCl

RN 202472-74-0 USPATFULL CN Urea, N-[3-[1-[4-(4-fluorophenyl)-1-piperazinyl]-4methylcyclohexyl]phenyl]-N'-3-quinolinyl-, trihydrochloride, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 2-A

PAGE 1-A

3 HCl

```
DN
     130:13851
TI
     Preparation of N,N'-bis(hydroxysulfonaphthyl)ureas and analogs as HIV
     reverse transcriptase and integrase inhibitors
IN
     Kenyon, George L.; Stauber, Margaret J.; Maurer, Karl; Eargle, Dolan;
     Muscate, Angelika; Leavitt, Andrew; Roe, Diana C.; Ewing, Todd J. A.;
     Skillman, Allan G., Jr.; Arnold, Edward; Kuntz, Irwin D.; Young, Malin
PA
     The Regents of the University of California, USA
SO
     PCT Int. Appl., 89 pp.
     CODEN: PIXXD2
DT
     Patent
LΆ
     English
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO.
                                                            DATE
                     ____
                            _____
                                           ______
PΙ
    WO 9850347
                            19981112
                      A1
                                           WO 1998-US8815
                                                            19980504
         W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG,
             KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
             NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
             UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, ML, MR, NE, SN, TD, TG
    AU 9872735
                       A1
                            19981127
                                           AU 1998-72735
                                                            19980504
    US 6140368
                       Α
                            20001031
                                           US 1998-72484
                                                            19980504
PRAI US 1997-45583P
                       Ρ
                            19970505
    US 1998-72484
                       Α
                            19980504
    WO 1998-US8815
                       W
                            19980504
OS
    MARPAT 130:13851
```

$$R^{1}$$
 $R^{2}$ 
 $R^{3}$  II

GΙ

RXR [I; R = hydroxynaphthyl group II; R1 substituents may be the same or different and = (un)substituted aryl or (un)substituted heteroaryl bound via an azo or amide group (sic); 1 of R2,R3 = H and the other = bond; Y substituents may be the same or different and = sulfonic, carboxylic, tetrazol, or esters thereof (sic); X is a substantially rigid linker bonded via amide or amide analogous bonds (sic)] were prepd. Thus, pyridine-2,6-dicarboxylic acid was bisamidated by 7-amino-4-hydroxynaphthalene-2-sulfonic acid and the product coupled with the diazonium salt prepd. from 4-(H2N)C6H4CO2H to give RNHCOZCONHR [R = hydroxynaphthyl group II, R1 = N:NC6H4(CO2H)-4, R2 = H, R3 = bond, Y = SO2H, Z = pyridine-2,6-diyl] monosodium salt. Data for biol. activity of I were given.

## IT 207974-42-3

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(prepn. of N,N'-bis(hydroxysulfonaphthyl)ureas and analogs as HIV reverse transcriptase and integrase inhibitors)

RN 207974-42-3 CAPLUS

CN 2-Naphthalenesulfonic acid, 4-hydroxy-7-[[(3-quinolinylamino)carbonyl]amin

### o]- (9CI) (CA INDEX NAME)

WO 1998-EP2265

MARPAT 129:330740

OS

GΙ

## RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L23 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2003 ACS
    1998:709065 CAPLUS
    129:330740
DN 
ΤI
    Preparation of bicyclic aryl or bicyclic heterocyclic ring containing
    (4-methylpiperazin-1-yl)phenyl compounds having a combined 5HT1A, 5HT1B
    and 5HT1D receptor antagonistic activity
    Gaster, Laramie Mary; Wyman, Paul Adrian
IN
    Smithkline Beecham PLC, UK
PΑ
SO
    PCT Int. Appl., 42 pp.
    CODEN: PIXXD2
DT.
    Patent
LΑ
    English
FAN.CNT 1
    PATENT NO.
                    KIND DATE
                                        APPLICATION NO.
                                                         DATE
    _____
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                          -----
                                        ______
ΡI
    WO 9847885
                    A1
                          19981029
                                         WO 1998-EP2265
                                                         19980414
        W: CA, JP, US
        RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
            PT, SE
                          20000202
    EP 975614
                     Α1
                                         EP 1998-919278
                                                         19980414
        R: BE, CH, DE, ES, FR, GB, IT, LI, NL
    JP 2001526643
                     T2
                          20011218
                                        JP 1998-544988
                                                         19980414
    US 6159979
                          20001212
                     Α
                                         US 1999-403149
                                                         19991015
PRAI GB 1997-7876
                     Α
                          19970418
    GB 1998-1635
                     Α
                          19980126
```

### \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

19980414

W

AB The title compds. [I; R1 = II, III (P1 = bicyclic aryl, bicyclic heterocyclic ring contg. 1-3 heteroatoms selected from O, N and S; P2, P3 = Ph, bicyclic aryl, 5-7 membered heterocyclic ring contg. 1-3 heteroatoms selected from O, N and S, or bicyclic heterocyclic group contg. 1-3 heteroatoms selected from O, N or S, providing that at least one of P2 and P3 = bicyclic aryl or bicyclic heterocyclic group; R11 = H, halo, C1-6 alkyl, etc.; R12, R13 = H, halo, C1-6 alkyl, etc.; a, b = 1-3; A = a bond, O, CH2, etc.); L = C(V)DG, DGC(V), YC(V)DG1; V = O, S; D = N, C, CH; G and G1 = H, C1-6 alkyl; Y = NH, NR5 (wherein R5 = C1-6 alkyl), CH2, O; X = N, C; R2, R3 = H, halo, OH, etc.; R4 = H, C1-6 alkyl), useful as CNS agents, were prepd. Thus, treatment of 4-(pyridin-4-yl)naphth-1-ylamine with triphosgene in the presence of Et3N in CH2C12 followed by the addn. of a

soln. of 4-chloro-3-(4-methylpiperazin-1-yl)aniline in CH2Cl2 afforded 27% IV which showed pKi of > 8.0 at 5-HT1A, 5-HT1B and 5HT1D receptors.

IT 215162-69-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of bicyclic aryl or bicyclic heterocyclic ring contg.

(4-methylpiperazin-1-yl)phenyl compds. having a combined 5HT1A, 5HT1B and 5HT1D receptor antagonistic activity)

RN 215162-69-9 CAPLUS

CN Urea, N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-N'-3-quinolinyl-(9CI) (CA INDEX NAME)

# RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2003 ACS

AN 1998:87719 CAPLUS

DN 128:154097

TI Preparation of certain substituted benzylamine derivatives such as amides of cis-1-(3-aminophenyl)-1-(4-phenyl-1-piperazinyl)-4-methylcyclohexane as a new class of neuropeptide Y1 specific ligands

IN Blum, Charles A.; Hutchison, Alan; Peterson, John M.

PA Neurogen Corp., USA

SO PCT Int. Appl., 30 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.		KIND	DATE	APPLICATION NO.	DATE
ΡI	WO 9803492	A1	19980129	WO 1997-US12614	19970718
	W: CA, JP,	MX			
	RW: AT, BE,	CH, DE	, DK, ES, FI	, FR, GB, GR, IE, IT,	LU, MC, NL, PT, SE
	EP 915859	A1	19990519	EP 1997-934217	19970718
	EP 915859	в1	20030102		
	R: AT, BE,	CH, DE	, DK, ES, FF	R, GB, GR, IT, LI, LU,	NL, SE, MC, PT,
	IE, FI				
	US 5962455	Α	19991005	US 1997-897045	19970718
	JP 2000515150	Т2	20001114	JP 1998-507101	19970718
	AT 230403	E	20030115	AT 1997-934217	19970718
	MX 9900870	A	20000331	MX 1999-870	19990122
PRAI	US 1996-22296P	P	19960723		
	WO 1997-US12614	W	19970718		
os	MARPAT 128:1540	97			
GI					

The title compds. [I; one of X1, X2 and X3 = -N(Ro)C(O)N(Rp)Y and the remaining X1, X2 and X3 = H; Y = (un)substituted Ph, pyridyl, naphthyl, etc.; Ro, Rp = H, C1-6 alkyl, etc.; RoRp = (CH2)n; n = 1-3; Ar = (un)substituted Ph, pyridyl, thienyl, pyrimidyl; B = S, O, N(R5), C(R5)(R6); n = 1-3; m = 2-4; R1, R2 = H, C1-6 alkyl; R3, R4 = H, C1-6 alkyl, C1-6 alkoxy; R5 = C1-6 alkyl, Ph, pyridyl; R6 = H, OH, NH2, etc.], useful in the diagnosis and treatment of feeding disorders such as obesity and bulimia and cardiovascular diseases such as essential hypertension and congestive heart failure due to the binding of these compds. to mammalian neuropeptide Y1 receptors, were prepd. Thus, treatment of cis-1-(3-aminophenyl)-1-(4-phenyl-1-piperazinyl)-4-methylcyclohexane (prepn. described) with phosgene in the presence of Et3N in CH2C12 followed by addn. of 3-aminoquinoline afforded the title compd. cis-II. Compds. I are effective at 0.1-140 mg/kg/day.

IT 202472-67-1P 202472-73-9P 202472-74-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of certain substituted benzylamine derivs. such as amides of cis-1-(3-aminophenyl)-1-(4-phenyl-1-piperazinyl)-4-methylcyclohexane as a new class of neuropeptide Y1 specific ligands)

RN 202472-67-1 CAPLUS

CN Urea, N-[3-[4-methyl-1-(4-phenyl-1-piperazinyl)cyclohexyl]phenyl]-N'-3-quinolinyl-, trihydrochloride, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

09/838,286

## ●3 HCl

RN 202472-73-9 CAPLUS

CN Urea, N-[3-[3-methyl-1-(4-phenyl-1-piperazinyl)cyclohexyl]phenyl]-N'-3-quinolinyl-, trihydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

## •3 HCl

RN 202472-74-0 CAPLUS

CN Urea, N-[3-[1-[4-(4-fluorophenyl)-1-piperazinyl]-4-methylcyclohexyl]phenyl]-N'-3-quinolinyl-, trihydrochloride, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A

PAGE 2-A

### ● 3 HCl

# RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L23 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2003 ACS
- AN 1998:273579 CAPLUS
- DN 129:27804
- TI Solid support-bound synthesis of polyfunctional unsymmetrical ureas
- AU Maurer, Karl W.; Kenyon, George L.
- CS Department of Pharmaceutical Chemistry, University of California, San Francisco, CA, 94143-0446, USA
- SO Bioorganic Chemistry (1997), 25(5/6), 277-281 CODEN: BOCMBM; ISSN: 0045-2068
- PB Academic Press
- DT Journal
- LA English
- OS CASREACT 129:27804
- AB Solid support-bound chem. has been used to gain access to several polyfunctional ureas which could not be easily produced via traditional soln. phase approaches.
- IT 207974-42-3P
  - RL: SPN (Synthetic preparation); PREP (Preparation) (solid support-bound prepn. of polyfunctional unsym. ureas)
- RN 207974-42-3 CAPLUS
- CN 2-Naphthalenesulfonic acid, 4-hydroxy-7-[[(3-quinolinylamino)carbonyl]amin o]- (9CI) (CA INDEX NAME)

CN

## RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD

```
ALL CITATIONS AVAILABLE IN THE RE FORMAT
L23
   ANSWER 17 OF 21 USPATFULL
ΑN
       96:31837 USPATFULL
ΤI
       Indole derivatives as 5HT.sub.1C antagonists
IN
       Forbes, Ian T., Stevenage, England
       Martin, Roger T., Ware, England
       Jones, Graham E., Hertford, England
       SmithKline Beecham, p.l.c., United Kingdom (non-U.S. corporation)
PA
       US 5508288
                               19960416
PΙ
       WO 9318028 19930916
      US 1994-295694
                               19940830 (8)
AΤ
       WO 1993-GB449
                               19930304
                               19940830 PCT 371 date
                               19940830 PCT 102(e) date
PRAI
       GB 1992-5415
                           19920312
       GB 1992-5416
                           19920312
       GB 1992-5422
                           19920312
       GB 1992-5442
                           19920312
DT
      Utility
FS
       Granted
EXNAM
      Primary Examiner: Ivy, C. Warren; Assistant Examiner: Huang, Evelyn
LREP
       Hall, Linda E., Suter, Stuart R., Lentz, Edward T.
CLMN
      Number of Claims: 9
ECL
       Exemplary Claim: 1
DRWN
      No Drawings
LN.CNT 896
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB
      A compound of formula (I) or a salt thereof: ##STR1## Wherein: P
       represents a quinoline or isoquinoline residue; R.sub.1 is hydrogen or
       C.sub.1-6 alkyl; R.sub.2, R.sub.3, R.sub.10, R.sub.11 are independently
       hydrogen
       R.sub.1 is hydrogen or C.sub.1-6 alkyl; R.sub.2, R.sub.3, R.sub.10,
       R.sub.11 are independently hydrogen or C.sub.1-6 alkyl, or R.sub.10 and
       R.sub.11 together form a bond, or R.sub.2 and R.sub.10 or R.sub.3 and
       R.sub.11 together form a C.sub.2-6 alkylene chain. R.sub.4 is hydrogen,
      C.sub.1-6 alkyl, halogen, NR.sub.8 R.sub.9, OR.sub.12 or COOR.sub.12,
      where R.sub.8 R.sub.9 and R.sub.12 are independently hydrogen or
      C.sub.1-6 alkyl; R.sub.5 and R.sub.6 are independently hydrogen or
      C.sub.1-6 alkyl; and R.sub.7 is hydrogen, C.sub.1-6 alkyl, C.sub.1-6
      alkoxy or halogen; and wherein the urea moiety is attached at the 4-, 5-
       or 6-position of the indoline ring, which has been found to have
       5HT.sub.1c receptor antagonist activity.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
   152239-50-4P 152239-51-5P
        (prepn. of, as 5-HT1c antagonists)
RN
    152239-50-4 USPATFULL
```

Urea, N-(1-methyl-1H-indol-5-yl)-N'-3-quinolinyl- (9CI) (CA INDEX NAME)

RN

68435-54-1 CAPLUS

RN 152239-51-5 USPATFULL

CN Urea, N-(1-methyl-1H-indol-5-yl)-N'-3-quinolinyl-, monohydrochloride (9CI) (CA INDEX NAME)

#### HCl

```
L23 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2003 ACS
AN
     1994:655671 CAPLUS
DN
     121:255671
ΤI
     Preparation of N-phenyl-N'-heteroarylureas as 5HT2C receptor antagonists
     Forbes, Ian Thomson; Ham, Peter; Martin, Roger Thomas; Thompson, Mervyn
ΙN
PA
     SmithKline Beecham PLC, UK
SO
     PCT Int. Appl., 28 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 1
     PATENT NO.
                      KIND
                            DATE
                                           APPLICATION NO.
                                                            DATE
                     ____
                                           -----
ΡI
    WO 9418170
                      Α1
                            19940818
                                           WO 1994-EP189
                                                            19940125
        W: JP, US
        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
                            19951122
                                           EP 1994-905697
                      A1
                                                            19940125
        R: BE, CH, DE, FR, GB, IT, LI, NL
     JP 08506114
                       T2
                            19960702
                                           JP 1994-517583
                                                            19940125
PRAI GB 1993-2275
                            19930205
    WO 1994-EP189
                            19940125
OS
    MARPAT 121:255671
AΒ
    R1NR2CONR3R4 [R1 = (un) substituted (iso) quinolinyl, -heteroaryl; R2,R3 =
    H, alkyl; R4 = (un)substituted Ph] were prepd. Thus, nicotinoyl azide was
     refluxed in PhMe after which 3,4-ClMeC6H3NH2 was added to give, after
     acidification, 3,4-ClMeC6H3NHCONHR1.HCl (R1 = 3-pyridyl) which had ID50 of
     78mg/kg orally against mCPP-induced hypolocomotion in rats.
IT
     68435-54-1P
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
```

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

(prepn. of N-phenyl-N'-heteroarylureas as 5HT2C receptor antagonists)

BIOL (Biological study); PREP (Preparation); USES (Uses)

CN Urea, N-phenyl-N'-3-quinolinyl- (9CI) (CA INDEX NAME)

```
L23 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2003 ACS
AN
     1994:77171 CAPLUS
     120:77171
DN
     Preparation of indolylurea derivatives as antagonists
TI
     Forbes, Ian Thomson; Martin, Roger Thomas; Jones, Graham Elgin
IN
     SmithKline Beecham PLC, UK
PA
SO
     PCT Int. Appl., 36 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
    PATENT NO.
                      KIND
                            DATE
                                           APPLICATION NO.
                                                             DATE
                                           -----
    WO 9318028
ΡI
                            19930916
                                           WO 1993-GB449
                       Α1
                                                             19930304
         W: AU, CA, JP, KR, NZ, US
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
    AU 9336411
                       Α1
                            19931005
                                           AU 1993-36411
                                                             19930304
    EP 630373
                       Α1
                            19941228
                                           EP 1993-905507
                                                             19930304
         R: BE, CH, DE, FR, GB, IT, LI, NL
     JP 07504429
                       Т2
                            19950518
                                           JP 1993-515449
                                                             19930304
     ZA 9301713
                       Α
                            19940922
                                           ZA 1993-1713
                                                             19930310
                            19960416
    US 5508288
                       Α
                                           US 1994-295694
                                                             19940830
PRAI GB 1992-5415
                            19920312
    GB 1992-5416
                            19920312
    GB 1992-5422
                            19920312
    GB 1992-5442
                            19920312
    WO 1993-GB449
                            19930304
OS
    MARPAT 120:77171
GΙ
```

AB Title compds. I (P = quinolinyl, isoquinolyl, 5,6-membered heterocyclyl; R1 = H, C1-6 alkyl; R2, R3, R10, R11 = C2-6 alkylene; R4 = H, C1-6 alkyl, halo, R8R9N, R12O, R12O2C wherein R8, R9, R12 = H, C1-6 alkyl; R5, R6 = H, C1-6 alkyl; R7 = H, C1-6 alkyl, C1-6 alkoxy, halo; etc.) or a salt

## 09/838,286

thereof, are prepd. to NaH was added 5-amino-3-methylbisthiazole-HCl followed by N-(1-methyl-5-indolyl)carbamate (prepn. given) to give the title compd. II. The affinity of II for 5-HTlC binding site by assessing its ability to displace [3H]-mesulergine from 5-HTlC binding sites was shown by pA2 as 7.9.

IT 152239-50-4P 152239-51-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as 5-HT1c antagonists)

RN 152239-50-4 CAPLUS

CN Urea, N-(1-methyl-1H-indol-5-yl)-N'-3-quinolinyl- (9CI) (CA INDEX NAME)

RN 152239-51-5 CAPLUS

CN Urea, N-(1-methyl-1H-indol-5-yl)-N'-3-quinolinyl-, monohydrochloride (9CI) (CA INDEX NAME)

#### ● HCl

L23 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2003 ACS

AN 1992:607160 CAPLUS

DN 117:207160

TI Preparation of urea derivatives as preventive agrochemical pesticides.

IN Aman, Shunji; Watanabe, Hiroyuki; Tsuzuki, Kenji; Takematsu, Tetsuo

PA Tosoh Corp., Japan

SO Jpn. Kokai Tokkyo Koho, 7 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

		PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	PI	JP 04178362	A2	19920625	JP 1990-303903	19901113
	PRAI	JP 1990-303903		19901113		
OS MARPAT 117:207160			0			

GI

Ι

AB Urea derivs. I [R1 = H, lower alkyl, lower alkoxy; R2 = lower alkyl, lower alkenyl, 4-morpholinyl, (lower alkyl-, lower alkoxy-, halo-substituted) Ph, five-membered heterocyclyl, etc.] are prepd. as preventive agrochem. insecticides, acaricides and microbicides. Thus, 0.47 g 4-amino-2-methylquinoline in C6H6-DMF was mixed with 0.52 g 1,2,3,4-tetrahydro-1-naphthylisocyanate, and refluxed overnight to give 0.46 g 3-(1,2,3,4-tetrahydro-1-naphthyl)-1-(2-methyl-4-quinolyl)urea (II). II, at 600 ppm, showed good preventive activity against tomato late blight. Formulation examples are given.

IT 144331-78-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as preventive agrochem. pesticide)

RN 144331-78-2 CAPLUS

CN Urea, N-3-quinolinyl-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

L23 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2003 ACS

AN 1979:6219 CAPLUS

DN 90:6219

TI Fungicidal activity of some quinoline derivatives

AU Dregval, G. F.; Andreeva, E. I.; Verbovskaya, T. M.; Smirnova, K. F.; Pronchenko, T. S.

CS Vses. Nauchno-Issled. Inst. Gig. Toksikol. Pestits., Polim. Plast. Mass, Kiev, USSR

SO Fiziologicheski Aktivnye Veshchestva (1978), 10, 92-5 CODEN: FAVUAI; ISSN: 0533-1153

DT Journal

LA Russian

GI

AB Seven quinolinium salts I [R = NHAc, N(ICl2)Cl, NHCONHPh, NH(ICl2)COPh; X = Cl, I, ICl2, Cl, IBr2] were prepd. in 71-99% yield. Reaction of I (R = NHAc, X = I) with Cl gave 98% I (R = NAcICl2, X = Cl). I and II (R = 3-NHAc, 3-NAcICl2, 3- and 2-NHCONHPh), were tested against various fungi, e.g., Fus. moniliff, Bot. cinerea, Vert. dahlial, and Asp. niger. Introduction of ICl2 into the mol. caused an increase in fungicidal activity; when X = IBr2 or ICl2 the fungicidal activity of I increased.

IT **68435-54-1 68435-55-2**RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); BIOL (Biological study)
 (fungicidal activity of)

RN 68435-54-1 CAPLUS

CN Urea, N-phenyl-N'-3-quinolinyl- (9CI) (CA INDEX NAME)

RN 68435-55-2 CAPLUS

CN Urea, N-phenyl-N'-3-quinolinyl-, compd. with iodine chloride (ICl3) (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 68435-54-1 CMF C16 H13 N3 O

CM 2

CRN 865-44-1 CMF Cl3 I

Cl | Cl-I-Cl

IT 68435-48-3P 68435-50-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and fungicidal activity of)

RN 68435-48-3 CAPLUS

CN Quinolinium, 1-methyl-3-[[(phenylamino)carbonyl]amino]-, iodide (9CI) (CA INDEX NAME) 09/838,286

• I-

RN 68435-50-7 CAPLUS

CN Quinolinium, 1-methyl-3-[[(phenylamino)carbonyl]amino]-, dichloroiodate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 68435-49-4 CMF C17 H16 N3 O

CM 2

CRN 14522-79-3 CMF Cl2 I

c1- <u>1-</u> c1